Author Search

⇨ FILE HCAPLUS
FILE 'HCAPLUS' ENTERED AT 11:34:56 ON 08 DEC 2008
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FILE COVERS 1907 - 8 Dec 2008 VOL 149 ISS 24
FILE LAST UPDATED: 7 Dec 2008 (20081207/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate
substance identification.
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

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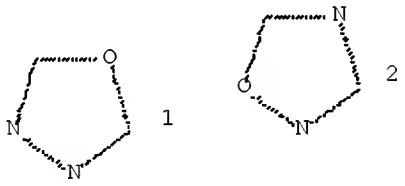

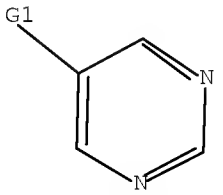

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⇨ D IBIB ED ABS FHITSTR 1-4

L24 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1310175 HCAPLUS Full-text

DOCUMENT NUMBER: 149:513862

TITLE: Oxadiazole derivatives as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ji, Jianguo; Lee, Chih-Lung; Sippy, Kevin B.; Li, Tao; Gopalakrishnan, Murali

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S. Pat. Appl. Publ., 63pp., Cont.-in-part of U.S. Ser. No. 953,625.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
US 20080269236	A1	20081030	US 2008-134678		20080606	←
US 20080167286	A1	20080710	US 2007-953625		20071210	←
PRIORITY APPLN. INFO.:			US 2006-874609P	P	20061212	←
			US 2007-999761P	P	20070412	
			US 2007-953625	A2	20071210	

ED Entered STN: 31 Oct 2008

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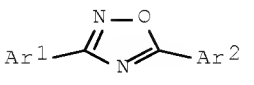

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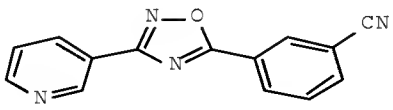


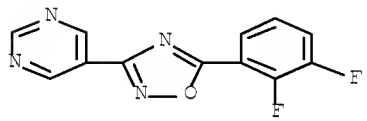
II

AB The invention relates to oxadiazole _euron_. I [Ar2, Ar3 = (un)substituted aryl, pyrazinyl, pyridazinyl, pyridinyl, etc.; with the proviso] as neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound II was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. Exemplified compds. I were evaluated in various _eur.

Tests. For example, II showed an activity range of 200-400% when tested for α4β2 pos. allosteric modulating activity.

IT 1073460-95-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazole _euron_. As neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

RN 1073460-95-3 HCAPLUS
CN Pyrimidine, 5-[5-(2,3-difluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



L24 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:831411 HCAPLUS Full-text
DOCUMENT NUMBER: 149:153092
TITLE: Oxadiazole derivatives as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Gopalakrishnan, Murali; Honore, Marie P.; Lee, Chih-Hung; Malysz, John; Ji, Jianguo; Li, Tao; Schrimpf, Michael R.; Sippy, Kevin B.; Anderson, David J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008073942	A2	20080619	WO 2007-US87090	20071212 ←

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20080269236 A1 20081030 US 2008-134678 20080606 ←
PRIORITY APPLN. INFO.: US 2006-874609P P 20061212 ←
US 2007-999761P P 20070412
US 2007-953625 A 20071210

ED Entered STN: 10 Jul 2008
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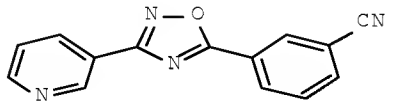
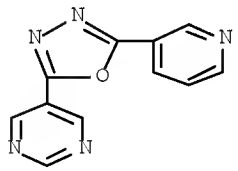


I

AB The invention relates to oxadiazole _euron_. As neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound I was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. All the invention compds. Were evaluated for their binding activity of nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulatory activity. From the assays, it was determined that I exhibited the Ki values of 0.001 - 100 nM against nicotinic acetylcholine receptor ligand binding and the activity of 200 - 400 % against α4β2 pos. allosteric modulators.

IT 1033725-33-5P, 2-(Pyridin-3-yl)-5-(pyrimidin-5-yl)-1,3,4-oxadiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxadiazole _euron_. As neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

RN 1033725-33-5 HCAPLUS
CN Pyrimidine, 5-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



L24 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:734342 HCAPLUS Full-text
DOCUMENT NUMBER: 149:79615
TITLE: Oxadiazole derivatives as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S): Gopalakrishnan, Murali; Honore, Marie P.; Lee, Chih-Hung; Malysz, John; Ji, Jianguo; Li, Tao; Schrimpf, Michael R.; Sippy, Kevin B.; Anderson, David J.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 113pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073942	A2	20080619	WO 2007-US87090	20071212 ←
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080167286	A1	20080710	US 2007-953625	20071210 ←

PRIORITY APPLN. INFO.:	US 2006-874609P	P	20061212 ←
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OTHER SOURCE(S): MARPAT 149:79615

ED Entered STN: 19 Jun 2008

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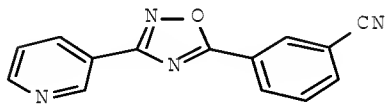


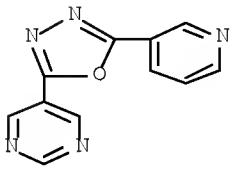
I

AB The invention relates to oxadiazole _euron_. As neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound I was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. All the invention compds. Were evaluated for their binding activity of nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulatory activity. From the assays, it was determined that I exhibited the Ki values of 0.001 - 100 nM against nicotinic acetylcholine receptor ligand binding and the activity of 200 - 400 % against α4β2 pos. allosteric modulators.

IT 1033725-33-5P, 2-(Pyridin-3-yl)-5-(pyrimidin-5-yl)-1,3,4-oxadiazole

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxadiazole _euron_. As neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

RN 1033725-33-5 HCAPLUS
CN Pyrimidine, 5-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



L24 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:529890 HCAPLUS Full-text
DOCUMENT NUMBER: 148:517729
TITLE: Preparation of oxadiazole and thiadiazole compounds as nicotinic acetylcholine receptor modulators
INVENTOR(S): Dahl, Bjarne H.; Peters, Dan; Olsen, Gunnar M.; Timmermann, Daniel B.; Joergensen, Susanne
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008049864	A1	20080502	WO 2007-EP61433	20071024 ←

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PRIORITY APPLN. INFO.: DK 2006-1380 A 20061025 ←
US 2006-854078P P 20061025 ←
OTHER SOURCE(S): MARPAT 148:517729
ED Entered STN: 02 May 2008
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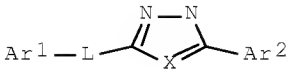

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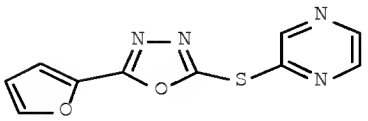


II

AB The title compds. I [Ar1 = (un)substituted Ph, pyridinyl, pyridazinyl, etc.; Ar2 = alkyl-carbonyl-amino, (un)substituted Ph, furanyl, thienyl, etc.; L = a bond, CH2, (CH2)2, S, O, etc.; X = O or S] which are found to be modulators of the nicotinic acetylcholine receptors and therefore may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to _euron-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances, were prepared E.g., a 2-step synthesis of II, starting from 2-furanoic hydrazide, was given. Representative compds. I were tested for nAChR α4β2 pos. allosteric modulator activity (data given). Pharmaceutical composition comprising the compound I is disclosed.

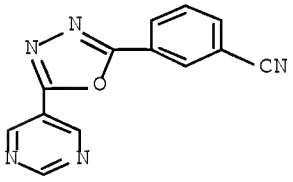
IT 1022091-53-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxadiazoles and thiadiazoles as nicotinic acetylcholine receptors modulators for treating and preventing nicotinic acetylcholine receptor-related diseases)

RN 1022091-53-7 HCAPLUS

CN Benzonitrile, 3-[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Structure Search

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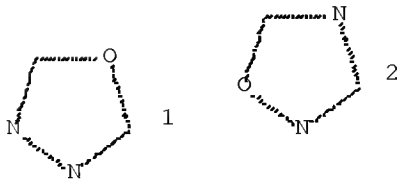

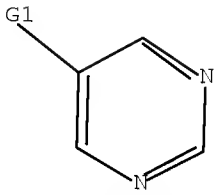

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=> S L23 NOT L22
L25         39 L23 NOT L22

=> D IBIB ED ABS HITSTR L25 1-25

L25  ANSWER 1 OF 39  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2008:1310175  HCAPLUS  Full-text
DOCUMENT NUMBER:         149:513862
TITLE:                   Oxadiazole derivatives as neuronal nicotinic
                         acetylcholine receptor ligands and α4β2
                         pos. allosteric modulators and their preparation,
                         pharmaceutical compositions and use in the treatment
                         of diseases
INVENTOR(S):             Ji, Jianguo; Lee, Chih-Lung; Sippy, Kevin B.; Li, Tao;
                         Gopalakrishnan, Murali
PATENT ASSIGNEE(S):      Abbott Laboratories, USA
SOURCE:                  U.S. Pat. Appl. Publ., 63pp., Cont.-in-part of U.S.
                         Ser. No. 953,625.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  3
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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ED Entered STN: 31 Oct 2008
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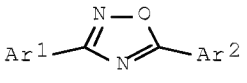
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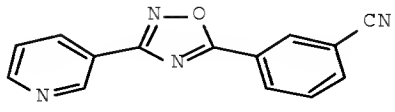
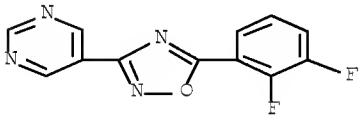

II

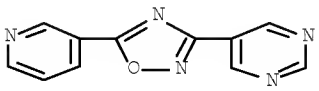
AB The invention relates to oxadiazole derivs. I [Ar2, Ar3 = (un)substituted aryl, pyrazinyl, pyridazinyl, pyridinyl, etc.; with the proviso] as neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound II was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. Exemplified compds. I were evaluated in various biol. tests. For example, II showed an activity range of 200-400% when tested for α4β2 pos. allosteric modulating activity.

IT 1073460-95-3P 1073460-96-4P 1073460-97-5P
1073460-98-6P 1073461-01-4P 1073461-02-5P
1073461-03-6P 1073461-04-7P 1073461-05-8P
1073463-02-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazole derivs. as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

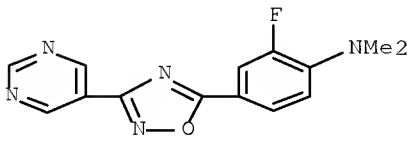
RN 1073460-95-3 HCAPLUS
CN Pyrimidine, 5-[5-(2,3-difluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



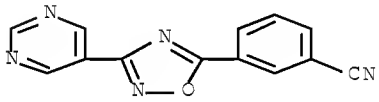
RN 1073460-96-4 HCAPLUS
CN Pyrimidine, 5-[5-(3-pyridinyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



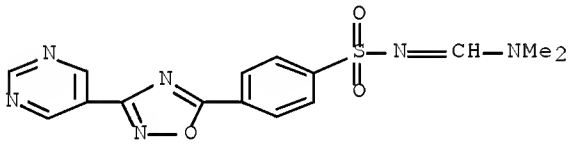
RN 1073460-97-5 HCAPLUS
CN Benzenamine, 2-fluoro-N,N-dimethyl-4-[3-(5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



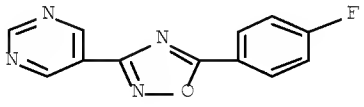
RN 1073460-98-6 HCAPLUS
CN Benzonitrile, 3-[3-(5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



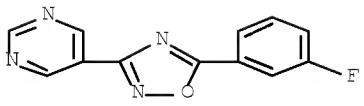
RN 1073461-01-4 HCAPLUS
CN Benzenesulfonamide, N-[(dimethylamino)methylene]-4-[3-(5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



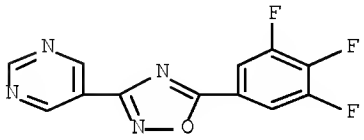
RN 1073461-02-5 HCAPLUS
CN Pyrimidine, 5-[5-(4-fluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



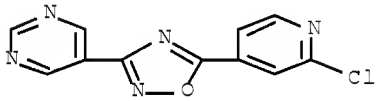
RN 1073461-03-6 HCAPLUS
CN Pyrimidine, 5-[5-(3-fluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



RN 1073461-04-7 HCAPLUS
CN Pyrimidine, 5-[5-(3,4,5-trifluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



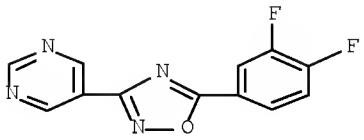
RN 1073461-05-8 HCAPLUS
CN Pyrimidine, 5-[5-(2-chloro-4-pyridinyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



RN 1073463-02-1 HCAPLUS
CN Pyrimidine, 5-[5-(3,4-difluorophenyl)-1,2,4-oxadiazol-3-yl]-, compd. with 4-methylbenzenesulfonic acid (1:1) (CA INDEX NAME)

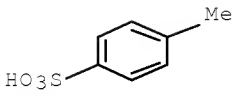
CM 1

CRN 1073461-16-1
CMF C12 H6 F2 N4 O

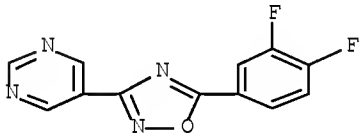


CM 2

CRN 104-15-4
CMF C7 H8 O3 S



IT 1073461-16-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxadiazole derivs. as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)
RN 1073461-16-1 HCAPLUS
CN Pyrimidine, 5-[5-(3,4-difluorophenyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



L25 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:831411 HCAPLUS Full-text
DOCUMENT NUMBER: 149:153092
TITLE: Oxadiazole derivatives as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Gopalakrishnan, Murali; Honore, Marie P.; Lee, Chih-Hung; Malysz, John; Ji, Jianguo; Li, Tao; Schrimpf, Michael R.; Sippy, Kevin B.; Anderson, David J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

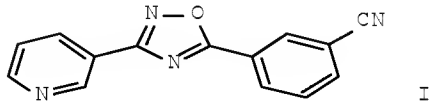
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080167286	A1	20080710	US 2007-953625	20071210 <--
WO 2008073942	A2	20080619	WO 2007-US87090	20071212 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,

PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

US 20080269236 A1 20081030 US 2008-134678 20080606 <--
PRIORITY APPLN. INFO.: US 2006-874609P P 20061212 <--
US 2007-999761P P 20070412
US 2007-953625 A 20071210

ED Entered STN: 10 Jul 2008
GI

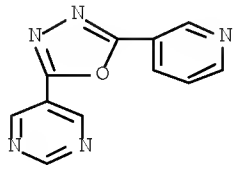


I

AB The invention relates to oxadiazole derivs. as neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound I was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. All the invention compds. were evaluated for their binding activity of nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulatory activity. From the assays, it was determined that I exhibited the Ki values of 0.001 - 100 nM against nicotinic acetylcholine receptor ligand binding and the activity of 200 - 400 % against α4β2 pos. allosteric modulators.

IT 1033725-33-5P, 2-(Pyridin-3-yl)-5-(pyrimidin-5-yl)-1,3,4-oxadiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxadiazole derivs. as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

RN 1033725-33-5 HCAPLUS
CN Pyrimidine, 5-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)

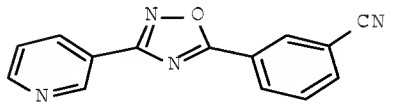


L25 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:734342 HCAPLUS Full-text
DOCUMENT NUMBER: 149:79615
TITLE: Oxadiazole derivatives as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Gopalakrishnan, Murali; Honore, Marie P.; Lee, Chih-Hung; Malysz, John; Ji, Jianguo; Li, Tao; Schrimpf, Michael R.; Sippy, Kevin B.; Anderson, David J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 113pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073942	A2	20080619	WO 2007-US87090	20071212 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080167286	A1	20080710	US 2007-953625	20071210 <--
PRIORITY APPLN. INFO.:			US 2006-874609P P	20061212 <--
			US 2007-999761P P	20070412
			US 2007-953625 A	20071210

OTHER SOURCE(S): MARPAT 149:79615
ED Entered STN: 19 Jun 2008
GI



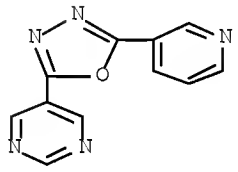
I

AB The invention relates to oxadiazole derivs. as neuronal nicotinic receptor ligands and an α4β2 pos. allosteric modulators, to methods of using the same, and to their manufs. Example compound I was prepared by amidation of 3-cyanobenzoyl chloride with nicotinamide oxime followed by heterocyclization. All the invention compds. were evaluated for their binding activity of

nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulatory activity. From the assays, it was determined that I exhibited the Ki values of 0.001 - 100 nM against nicotinic acetylcholine receptor ligand binding and the activity of 200 - 400 % against α4β2 pos. allosteric modulators.

IT 1033725-33-5P, 2-(Pyridin-3-yl)-5-(pyrimidin-5-yl)-1,3,4-oxadiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxadiazole derivs. as neuronal nicotinic acetylcholine receptor ligands and α4β2 pos. allosteric modulators useful in the treatment of diseases)

RN 1033725-33-5 HCAPLUS
CN Pyrimidine, 5-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



L25 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:529890 HCAPLUS Full-text
DOCUMENT NUMBER: 148:517729
TITLE: Preparation of oxadiazole and thiadiazole compounds as nicotinic acetylcholine receptor modulators
INVENTOR(S): Dahl, Bjarne H.; Peters, Dan; Olsen, Gunnar M.; Timmermann, Daniel B.; Joergensen, Susanne
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008049864	A1	20080502	WO 2007-EP61433	20071024 <--

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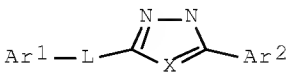
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DK 2006-1380 A 20061025 <--
US 2006-854078P P 20061025 <--

OTHER SOURCE(S): MARPAT 148:517729
ED Entered STN: 02 May 2008
GI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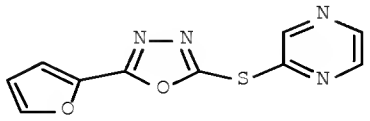
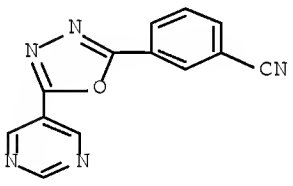

II

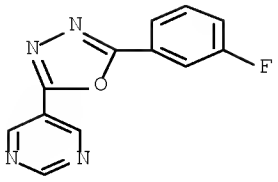
AB The title compds. I [Ar1 = (un)substituted Ph, pyridinyl, pyridazinyl, etc.; Ar2 = alkyl-carbonyl-amino, (un)substituted Ph, furanyl, thienyl, etc.; L = a bond, CH2, (CH2)2, S, O, etc.; X = O or S] which are found to be modulators of the nicotinic acetylcholine receptors and therefore may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances, were prepared E.g., a 2-step synthesis of II, starting from 2-furanoic hydrazide, was given. Representative compds. I were tested for nAChR α4β2 pos. allosteric modulator activity (data given). Pharmaceutical composition comprising the compound I is disclosed.

IT 1022091-53-7P 1022091-55-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazoles and thiadiazoles as nicotinic acetylcholine receptors modulators for treating and preventing nicotinic acetylcholine receptor-related diseases)

RN 1022091-53-7 HCAPLUS
CN Benzonitrile, 3-[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



RN 1022091-55-9 HCAPLUS
CN Pyrimidine, 5-[5-(3-fluorophenyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:255555 HCAPLUS Full-text
DOCUMENT NUMBER: 148:308569
TITLE: Preparation of erythromycin macrolides and ketolides having antimicrobial activity
INVENTOR(S): Sindkhedkar, Milind Dattatraya; Desai, Vijaya Narayan; Loriya, Rajesh Maganlal; Patel, Mahesh Vithalbhai; Trivedi, Bharat Kalidas; Bora, Rajesh Onkardas; Diwakar, Santosh Devidas; Jadhav, Ganesh Rajaram; Pawar, Shivaji Sampatrao
PATENT ASSIGNEE(S): Wockhardt Research Centre, India
SOURCE: PCT Int. Appl., 123pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023248	A2	20080228	WO 2007-IB2405	20070822 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2006-MU1336 A 20060824 <--
OTHER SOURCE(S): MARPAT 148:308569
ED Entered STN: 29 Feb 2008
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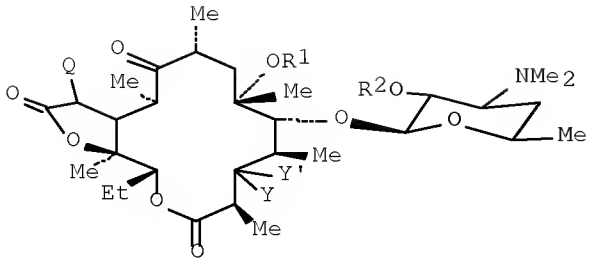


I

AB The present invention provides macrolides and ketolides I, wherein R1 is H, Me; R2 is H, hydroxyl protecting group selected from the group consisting of triethylsilyl, trimethylsilyl, acetyl, benzoyl, methoxymethyl, benzyl, methoxyethoxymethyl or tert-butyldimethylsilyl; Q is substituted heterocycle, -C(NH2)(=N-O-T); T is H, alkyl, alkenyl, alkynyl, alkyl-aryl, alkyl-heteroaryl, alkyl-acyl, alkyl-amide; Y is H and Y' is sugar residue; Y and Y' together with the carbon to which they are attached form C=O; were prepared and showed antimicrobial activity for preventing and treating diseases caused by microbial infections. Thus, I [R1 = Me, R2 = H, Q = -C(NH2)(=N-O-CH2C(F)(=CH2)), YY' = O] was prepared and tested in vitro as antibacterial agent. The compds. of this invention are useful antimicrobial agents, effective against various human and veterinary pathogens, including multiple-resistant staphylococci and streptococci, enterococci, as well as anaerobic organisms such bacteroides and clostridia species, and acid resistant organisms such as Mycobacterium tuberculosis and Mycobacterium avium. The compds. inhibited the growth of these bacteria with MIC's in the range of about 0.03 μg/mL to about 64 μg/mL.

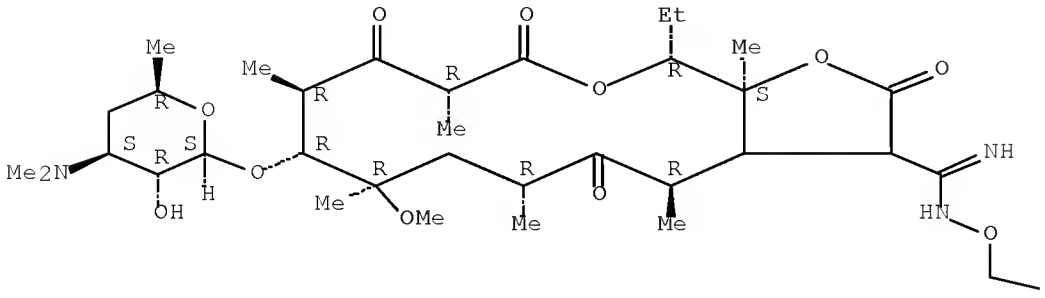
IT 1009560-34-2P 1009560-54-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of erythromycin macrolides and ketolides having antimicrobial activity)

RN 1009560-34-2 HCAPLUS

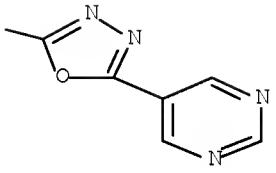
CN 2H-Furo[2,3-c]oxacyclotetradecin-3-carboximidamide, 15-ethyltetradecahydro-8-methoxy-4,6,8,10,12,15a-hexamethyl-2,5,11,13-tetraoxo-N-[[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]methoxy]-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (4R,6R,8R,9R,10R,12R,15R,15aS)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



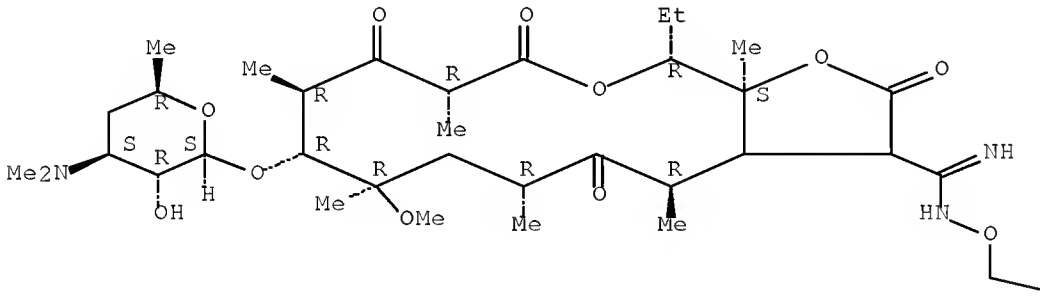
PAGE 1-B

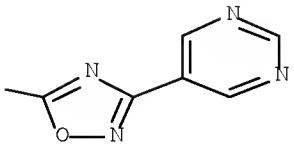


RN 1009560-54-6 HCAPLUS
CN 2H-Furo[2,3-c]oxacyclotetradecin-3-carboximidamide, 15-ethyltetradecahydro-8-methoxy-4,6,8,10,12,15a-hexamethyl-2,5,11,13-tetraoxo-N-[[3-(5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]methoxy]-9-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-xylo-hexopyranosyl]oxy]-, (4R,6R,8R,9R,10R,12R,15R,15aS)- (CA INDEX NAME)

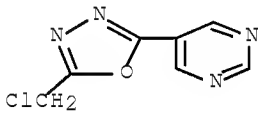
Absolute stereochemistry.

PAGE 1-A

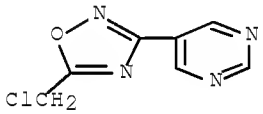




IT 1009562-62-2 1009562-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of erythromycin macrolides and ketolides having antimicrobial activity)
RN 1009562-62-2 HCAPLUS
CN Pyrimidine, 5-[5-(chloromethyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



RN 1009562-73-5 HCAPLUS
CN Pyrimidine, 5-[5-(chloromethyl)-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



L25 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1470668 HCAPLUS Full-text
DOCUMENT NUMBER: 148:100432
TITLE: Preparation of purinone derivatives as HM74a agonists
INVENTOR(S): Zheng, Changsheng; Xue, Chu-Biao; Cao, Ganfeng; Xia, Michael; Wang, Anlai; Ye, Hai Fen; Metcalf, Brian
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 205pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007150025	A2	20071227	WO 2007-US71891	20070622 <--
WO 2007150025	A3	20080207		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

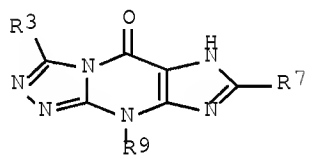
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080045554	A1	20080221	US 2007-766981	20070622 <--
PRIORITY APPLN. INFO.:			US 2006-815955P	P 20060623 <--
			US 2007-922818P	P 20070411

OTHER SOURCE(S): MARPAT 148:100432

ED Entered STN: 27 Dec 2007

GI



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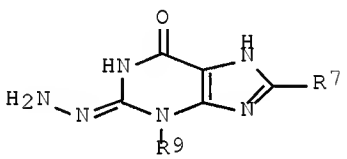


II

AB Purinone derivs., such as I [R3 = H, alkyl, alkenyl, alkynyl, haloakyl, hydroxyalkyl, cyanoalkyl, etc.; R7 = CN, halogen, haloalkyl,etc.; R9 = alkyl], were prepared for therapeutic use as agonists of the HM74a receptor. These purinone derivs. were claimed for use in the treatment of diseases associated with elevated plasma free fatty acids (FFAs), such as dyslipidemia, highly-active anti-retroviral therapy (HAART) associated lipodystrophy, insulin resistance, diabetes, metabolic syndrome, atherosclerosis, coronary heart disease, stroke, obesity, elevated body mass index (BMI), elevated waist circumference, nonalcoholic fatty liver disease, hepatic steatosis, or hypertension. Thus, 3-methyl-9-pentyl-7-(trifluoromethyl)-6,9-dihydro-5H-[1,2,4]triazolo[4,3- a]purin-5-one II [R3 = Me, R7 = CF3, R9 = (CH2)4Me] was prepared via a multistep synthetic scheme starting from Me(CH2)4NCS, NCCH2CO2Et, and trifluoroacetic anhydride via a cyclocondensation reaction of the corresponding hydrazone II with MeC(OEt)3. The prepared purinones were tested for pharmacol. activity using nicotinic acid displacement, FLIPR, cAMP and adipocyte lipolysis assays.

IT 1000166-12-0P

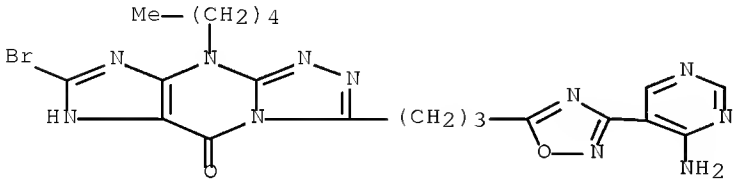
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of purinone derivs. forrapeutic use as HM74a agonists for treatment of diseases associated with elevated plasma free fatty acids)

RN 1000166-12-0 HCAPLUS

CN 5H-1,2,4-Triazolo[4,3-a]purin-5-one, 3-[3-[3-(4-amino-5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]propyl]-7-bromo-8,9-

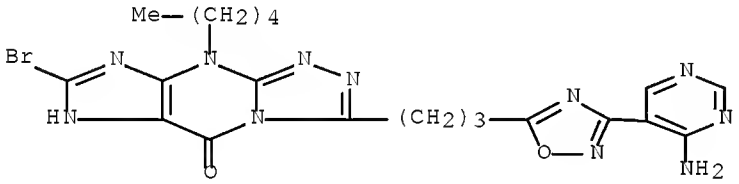
dihydro-9-pentyl- (CA INDEX NAME)



IT 1000166-13-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of purinone derivs. forrapeutic use as HM74a agonists for treatment of diseases associated with elevated plasma free fatty acids)
RN 1000166-13-1 HCAPLUS
CN 5H-1,2,4-Triazolo[4,3-a]purin-5-one, 3-[3-[3-(4-amino-5-pyrimidinyl)-1,2,4-oxadiazol-5-yl]propyl]-7-bromo-8,9-dihydro-9-pentyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

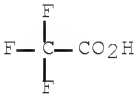
CM 1

CRN 1000166-12-0
CMF C20 H22 Br N11 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L25 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1120279 HCAPLUS Full-text
DOCUMENT NUMBER: 147:427362

TITLE: Pyridine and pyrimidine derivatives as mGluR2 antagonists and their preparation, pharmaceutical compositions and use in the treatment of CNS disorders

INVENTOR(S): Gatti Mcarthur, Silvia; Goetschi, Erwin; Wichmann, Juergen; Woltering, Thomas Johannes

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 387pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

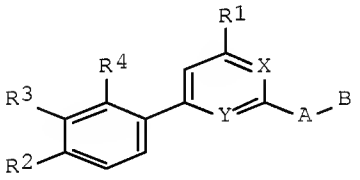
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007110337	A1	20071004	WO 2007-EP52560	20070319 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007229552	A1	20071004	AU 2007-229552	20070319 <--
US 20070232583	A1	20071004	US 2007-726575	20070322 <--
PRIORITY APPLN. INFO.:			EP 2006-111939 A	20060329 <--
			WO 2007-EP52560 W	20070319

OTHER SOURCE(S): MARPAT 147:427362

ED Entered STN: 05 Oct 2007

GI



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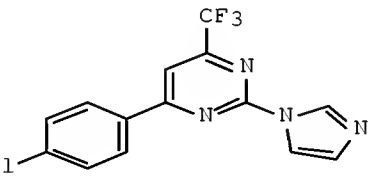


II

AB The invention relates to compds. of formula I, a process for the manufacture thereof, their use for the preparation of medicaments for treating CNS disorders and pharmaceutical compns. containing them. Compds. of formula I wherein one of X and Y is N and the other is CN, or both Y and Y are N; A is (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; B is H, CN, (un)substituted aryl and (un)substituted 5- to 6-membered heteroaryl; R1 is H, halo, C1-6 alkyl; R2 is H, CN, halo, C1-6 (halo)alkyl, C1-6 (halo)alkoxy and C3-6 cycloalkyl; R3 is H, halo, C1-6 (halo)alkoxy, C1-6 (halo)alkyl, C3-6 cycloalkyl, and NH2 and derivs.; R4 is H and halo; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by nucleophilic aromatic substitution of 2-chloro-4-(chlorophenyl)-6-

trifluoromethylpyrimidine with imidazole. All the invention compds. were evaluated for their mGluR2 antagonistic activity. From the assay, it was determined that compound II exhibited Ki value 0.074 μM.

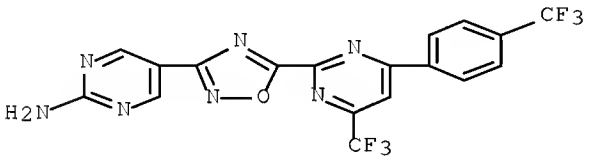
IT 951226-46-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine and pyrimidine derivs. as mGluR2 antagonists useful in the treatment of CNS disorders)

RN 951226-46-3 HCAPLUS

CN 2-Pyrimidinamine, 5-[5-[4-(trifluoromethyl)-6-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:788503 HCAPLUS Full-text

DOCUMENT NUMBER: 147:189189

TITLE: Preparation of pyrimidines as inhibitors of the epidermal growth factor receptor (EGFR) tyrosine-kinase family for treating cancer

INVENTOR(S): Xu, Guozhang; Lee, Lily; Connolly, Peter J.; Middleton, Steven A.; Emanuel, Stuart L.; Hughes, Terry V.; Abad, Marta C.; Karnachi, Prabha S.; Wetter, Steven K.

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.

SOURCE: PCT Int. Appl., 164pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

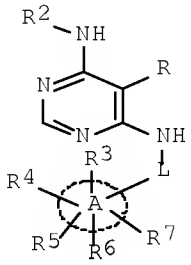
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007081630	A2	20070719	WO 2006-US61890	20061212 <--
WO 2007081630	A3	20071221		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2005-752633P P 20051221 <--
OTHER SOURCE(S): MARPAT 147:189189
ED Entered STN: 19 Jul 2007
GI



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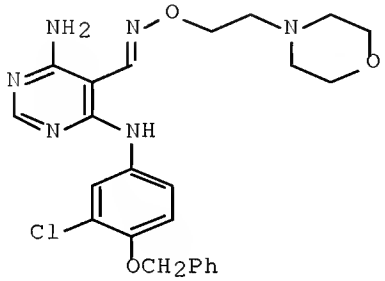
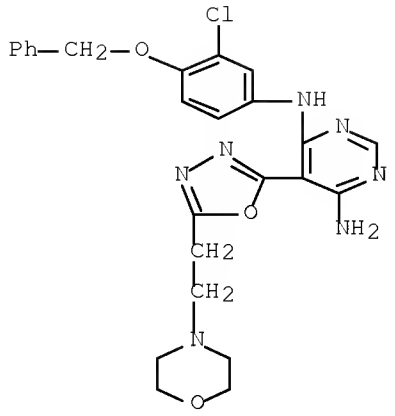


II

AB Title compds. I [wherein L = bond or (halo)alkyl; A = (hetero)aryl, benzofused- heterocyclyl or cycloalkyl; R = C=N-O-R1, cyano or R1-substituted oxadiazolyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = H, alkyl or alkoxy; R3 - R7 = H, halo, OH, etc.] were prepared as inhibitors of the the epidermal growth factor receptor (EGFR) tyrosine-kinase family. For instance, double amination of 4,6-dichloropyridine-5-carbaldehyde with ammonia and then with 4-benzyloxy-3-chlorophenylamine, followed by oximation of the resultant aldehyde with O-(2-morpholin-4-ylethyl)hydroxylamine dihydrochloride, led to oxime II. This product showed inhibition against EGFR and Her-2 kinase with IC50 values of 0.02 μM and 0.06 μM, resp. Therefore, the invented compds. and their pharmaceutical compns. are useful for treating, preventing or ameliorating protein kinase-mediated diseases, such as cancer.

IT 944343-00-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidines as inhibitors of the epidermal growth factor receptor (EGFR) tyrosine-kinase family for treating cancer)

RN 944343-00-4 HCAPLUS
CN 4,6-Pyrimidinediamine, N4-[3-chloro-4-(phenylmethoxy)phenyl]-5-[5-[2-(4-morpholinyl)ethyl]-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



L25 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:619478 HCAPLUS Full-text
DOCUMENT NUMBER: 147:52814
TITLE: Heteroaryl substituted piperidine derivatives as L-CPT1 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Ackermann, Jean; Bleicher, Konrad; Ceccarelli Grenz, Simona M.; Chomienne, Odile; Mattei, Patrizio; Schulz-Gasch, Tanja
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 179pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007063012	A1	20070607	WO 2006-EP68745	20061122 <--
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006319247	A1	20070607	AU 2006-319247	20061122 <--
CA 2630460	A1	20070607	CA 2006-2630460	20061122 <--
EP 1959951	A1	20080827	EP 2006-819660	20061122 <--
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US 20070129544	A1	20070607	US 2006-605904	20061129 <--
MX 200806776	A	20080602	MX 2008-6776	20080526 <--

IN 2008DN04829	A	20080815	IN 2008-DN4829		20080605	<--
KR 2008072097	A	20080805	KR 2008-715998		20080630	<--
PRIORITY APPLN. INFO.:			EP 2005-111560	A	20051201	<--
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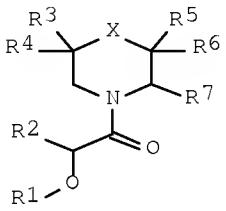
OTHER SOURCE(S): MARPAT 147:52814
ED Entered STN: 08 Jun 2007

GI



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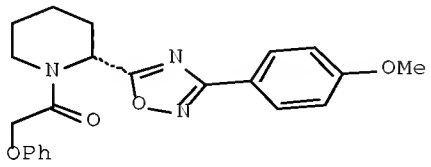


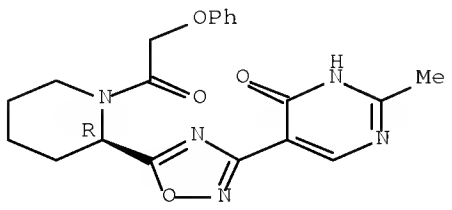
II

AB The invention is concerned with substituted piperidine derivs. of formula I as well as physiol. acceptable salts and esters thereof. Compds. of formula I wherein X is (un)substituted CH2, NH and derivs., O,S, SO and SO2; R1 is (un)substituted phenyl; R2 is H and lower alkyl; R3, R4, R5 and R6 are independently H, halo, lower alkyl and lower alkoxy; R3R4 and R5R6 may independently be taken together to form a =O; R7 is (un)substituted oxadiazolyl and (un)substituted triazolyl; and their pharmaceutically acceptable salts and esters thereof, are claimed. These compds. inhibit L-CPT1 and can be used as medicaments. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their L-CPT1 inhibitory activity.

IT 939997-77-0P 939997-85-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryl substituted piperidine derivs. as
L-CPT1 inhibitors useful as therapeutic and prophylactic agents)

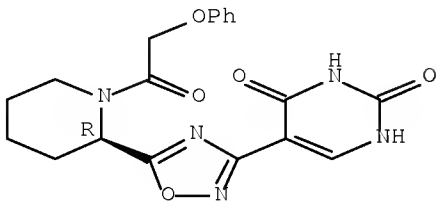
RN 939997-77-0 HCAPLUS
CN 4(3H)-Pyrimidinone, 2-methyl-5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 939997-85-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

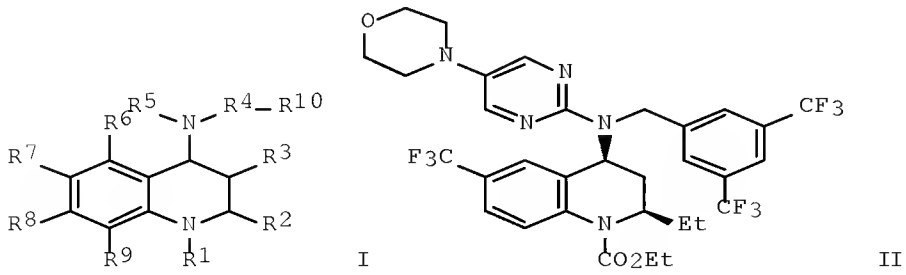


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:532748 HCAPLUS Full-text
DOCUMENT NUMBER: 146:500906
TITLE: Tetrahydroquinoline derivatives as cholesteryl ester transfer protein inhibitors and a process for preparing the same
INVENTOR(S): Kubota, Hitoshi; Sugawara, Masakatsu; Furukawa, Mamiko; Takano, Mayumi; Motomura, Daisuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 215pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007119450	A	20070517	JP 2006-261761	20060927 <--
PRIORITY APPLN. INFO.:			JP 2005-284974 A	20050929 <--

OTHER SOURCE(S): MARPAT 146:500906
ED Entered STN: 17 May 2007
GI



AB The invention relates to compds. of formula (I) or a pharmaceutically acceptable salt thereof, which have inhibitory activity against cholesteryl ester transfer protein (CETP). Compds. of formula I [wherein R1 is H,

(un)substituted alkoxycarbonyl, (un)substituted carbamoyl, (un)substituted alkyl, (un)substituted alkanoyl, etc.; R2 and R3 are independently H and (un)substituted alkyl; R4 is (un)substituted alkylene; R5 is (un)substituted (un)saturated (mono/bi)cyclic heterocycle; R6, R7, R8, and R9 are independently H, halo, OH, NO2, cyano, (un)substituted alkyl, (un)substituted alkoxy, etc.; R10 is (un)substituted heteroaryl; and their pharmaceutically acceptable salts thereof], are claimed. Example compound II was prepared by arylation of (2R,4S)-4-amino-2-ethyl-6-trifluoromethyl- 3,4-dihydro-2H-quinolin-1-carboxylic acid Et ester with 5-bromo-2-chloropyrimidine; the resulting (2R,4S)-4-[(5-bromopyrimidin-2-yl)amino]-2-ethyl-6-trifluoromethyl-3,4- dihydro-2H-quinolin-1-carboxylic acid Et ester underwent alkylation with 3,5-bis(trifluoromethyl)benzyl bromide to give (2R,4S)-4-[(5-bromopyrimidin-2-yl)(3,5-bis(trifluoromethyl)benzyl)amino]-2- ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinolin-1-carboxylic acid Et ester, which underwent amination with morpholine to give compound II. All the invention compds. were evaluated for their CETP inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.17 nM.

IT 866399-02-2P

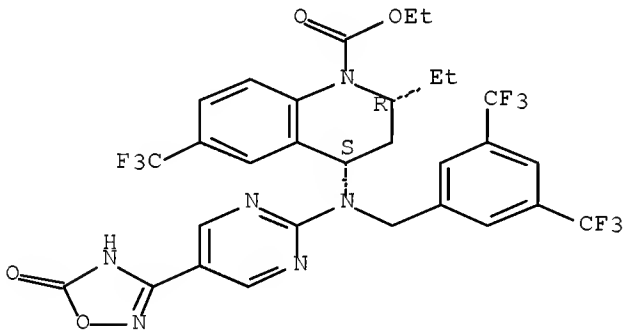
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetrahydroquinoline derivs. as cholesteryl ester transfer protein inhibitors useful in treatment and prevention of diseases)

RN 866399-02-2 HCAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-(trifluoromethyl)-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:529493 HCAPLUS Full-text

DOCUMENT NUMBER: 146:501029

TITLE: Tetrahydronaphthyridine derivatives as cholesteryl ester transferase protein inhibitors and a process for preparing them

INVENTOR(S): Kubota, Hitoshi; Nakamura, Yoshinobu; Tojima, Takanori; Yamamoto, Yasuo; Oka, Kozo; Igarashi, Shigeki

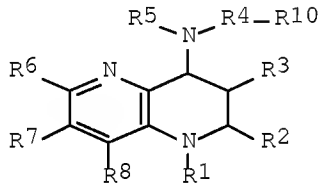
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 230pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007119451	A	20070517	JP 2006-261889	20060927 <--
PRIORITY APPLN. INFO.:			JP 2005-284988 A	20050929 <--

OTHER SOURCE(S): MARPAT 146:501029
ED Entered STN: 17 May 2007
GI



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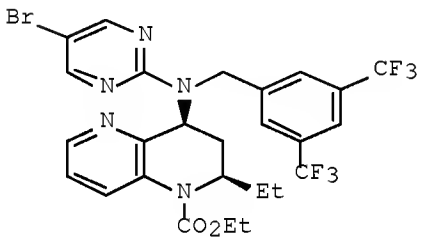


II

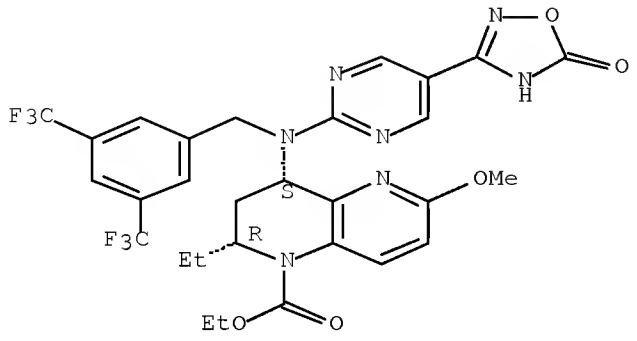
AB A compound of the formula I or a pharmaceutically acceptable salt thereof, has an inhibitory activity against cholesteryl ester transfer protein (CETP). Compds. of formula I [wherein R1 is H, (un)substituted alkoxycarbonyl, (un)substituted alkyl, (un)substituted alkanoyl, etc; R2 and R3 are independently H and (un)substituted alkyl; R4 is (un)substituted alkylene; R5 is (un)substituted heterocyclic group; R6, R7, and R8 are independently H, (un)substituted alkyl, (un)substituted alkoxy, halo, NO2, CN; R10 is (un)substituted aromatic ring; and their pharmaceutically acceptable salts thereof], or pharmaceutically acceptable salts thereof, which have an inhibitory activity against cholesteryl ester transfer protein (CETP), are prepared Example compound II was prepared via a multistep procedure (detailed procedures given). All the invention compds. were evaluated for their CETP inhibitory activity. Some of the tested compds. showed good inhibitory activity.

IT 866535-45-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydronaphthyridine derivs. as cholesteryl ester transferase protein inhibitors)

RN 866535-45-7 HCAPLUS
CN 1,5-Naphthyridine-1(2H)-carboxylic acid,

4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-methoxy-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:410462 HCAPLUS Full-text
DOCUMENT NUMBER: 146:421853
TITLE: Tetrahydroquinoline derivatives as cholesteryl ester transfer protein inhibitors and a process for preparing the same
INVENTOR(S): Kubota, Hitoshi; Sugahara, Masakatsu; Furukawa, Mariko; Takano, Mayumi; Motomura, Daisuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 134pp., Cont.-in-part of Appl. No. PCT/JP2005/006894.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070082896	A1	20070412	US 2006-527691	20060927 <--
WO 2005095409	A2	20051013	WO 2005-JP6894	20050401 <--
WO 2005095409	A3	20060209		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	JP 2004-109550	A	20040402 <--
	WO 2005-JP6894	A2	20050401 <--
	US 2005-720448P	P	20050927 <--

OTHER SOURCE(S): MARPAT 146:421853

ED Entered STN: 13 Apr 2007
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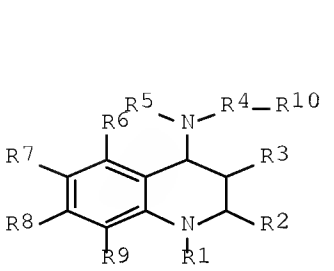

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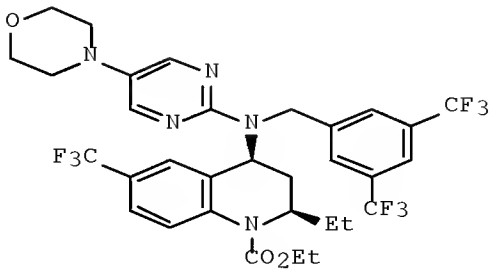


II

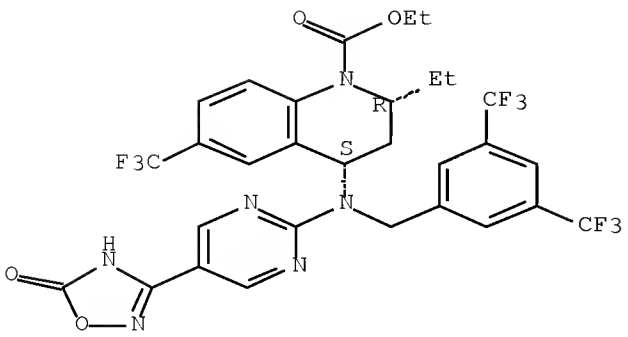
AB The invention relates to compds. of formula I or a pharmaceutically acceptable salt thereof, which have inhibitory activity against cholesteryl ester transfer protein (CETP). Compds. of formula I wherein R1 is H, (un)substituted alkoxycarbonyl, (un)substituted carbamoyl, (un)substituted alkyl, (un)substituted alkanoyl, etc.; R2 and R3 are independently H and (un)substituted alkyl; R4 is (un)substituted alkylene; R5 is (un)substituted (un)saturated (mono/bi)cyclic heterocycle; R6, R7, R8, and R9 are independently H, halo, OH, NO2, CN, (un)substituted alkyl, (un)substituted alkoxy, etc.; R10 is (un)substituted heteroaryl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by arylation of (2R,4S)-4-amino-2-ethyl-6-trifluoromethyl-3,4- dihydro-2H-quinolin-1-carboxylic acid Et ester with 5-bromo-2-chloropyrimidine; the resulting (2R,4S)-4-[(5-bromopyrimidin-2-yl)amino]-2-ethyl-6-trifluoromethyl-3,4- dihydro-2H-quinolin-1-carboxylic acid Et ester underwent alkylation with 3,5-bis(trifluoromethyl)benzyl bromide to give (2R,4S)-4-[(5-bromopyrimidin-2-yl)(3,5-bis(trifluoromethyl)benzyl)amino]-2- ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinolin-1-carboxylic acid Et ester, which underwent amination with morpholine to give compound II. All the invention compds. were evaluated for their CETP inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.17 nM.

IT 866399-02-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydroquinoline derivs. as cholesteryl ester transfer protein inhibitors useful in treatment and prevention of diseases)

RN 866399-02-2 HCAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-(trifluoromethyl)-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:359159 HCAPLUS Full-text
DOCUMENT NUMBER: 146:358877
TITLE: Preparation of pyrazolopyrimidine derivatives and similar compounds as metabotropic glutamate receptor antagonists for treating CNS disorders
INVENTOR(S): McArthur, Silvia Gatti; Goetschi, Erwin; Wichmann, Juergen; Woltering, Thomas Johannes
PATENT ASSIGNEE(S): Switz.
SOURCE: U.S. Pat. Appl. Publ., 36pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070072879	A1	20070329	US 2006-524135	20060920 <--
AU 2006298829	A1	20070412	AU 2006-298829	20060918 <--
CA 2623721	A1	20070412	CA 2006-2623721	20060918 <--
WO 2007039439	A1	20070412	WO 2006-EP66446	20060918 <--
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1934214	A1	20080625	EP 2006-793587	20060918 <--
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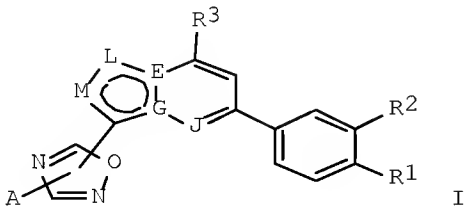
MX 200803920	A	20080409	MX 2008-3920	20080324 <--
IN 2008CN01476	A	20081128	IN 2008-CN1476	20080326 <--
CN 101273040	A	20080924	CN 2006-80035737	20080327 <--
KR 2008053392	A	20080612	KR 2008-709997	20080425 <--
PRIORITY APPLN. INFO.:			EP 2005-108910 A	20050927 <--
			WO 2006-EP66446 W	20060918 <--

OTHER SOURCE(S): MARPAT 146:358877
ED Entered STN: 30 Mar 2007
GI



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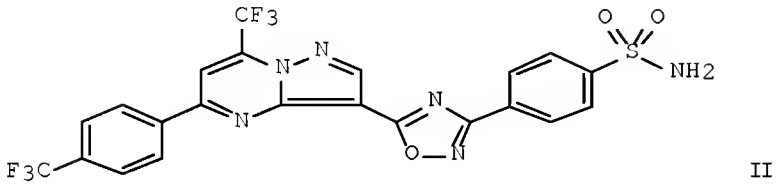


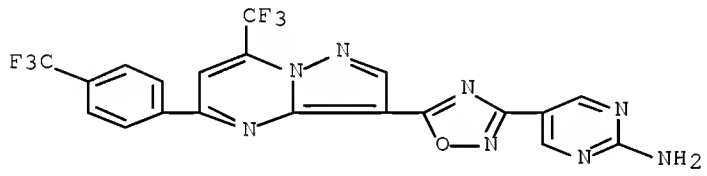
II

AB The present invention relates to compds. of formula I [wherein either E and J are N, G is C, and one of L or M is N and the other is CH; or L and G are N, E is C, and J and M are CH; R1 and R2 are independently H, halo, (un)substituted C1-6-alkyl or (un)substituted C1-6-alkoxy; R3 is H, -C(CH3)2OH, or linear C1-4-alkyl or C3-4-cycloalkyl each of which is optionally substituted; A is (un)substituted aryl and (un)substituted 5-6-membered heteroaryl] or a pharmaceutically acceptable salt thereof. The invention also relates to pharmaceutical compns. containing such compds. and methods for preparing the compds. and compns. The compds. are metabotropic glutamate receptor antagonists and are useful for the treatment of a variety of CNS disorders. Example compound II was prepared by reacting N-hydroxy-4-sulfamoylbenzamidine with 7-trifluoromethyl-5-(4-trifluoromethylphenyl)pyrazolo[1,5-a]pyrimidine-3-carboxylic acid (prepared from Et trifluoroacetate, 4-trifluoromethylacetophenone, and 3-amino-4-ethoxycarbonylpyrazole). II had an Ki of 0.0056 μM in an assay using [3H]-LY354740 binding on mGlu2 receptor transfected CHO cell membranes.

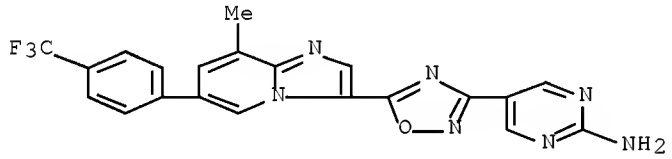
IT 930122-60-4P, [5-[5-[7-Trifluoromethyl-5-(4-trifluoromethylphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-62-6P, [5-[5-[8-Methyl-6-(4-trifluoromethylphenyl)imidazo[1,2-a]pyridin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-93-3P, [5-[5-[5-(3,4-Difluorophenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-94-4P, [5-[5-[5-(3-Fluoro-4-trifluoromethylphenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-95-5P, [5-[5-[5-(3-Chloro-4-trifluoromethylphenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-96-6P, [5-[5-[5-(3,4-Dichlorophenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-97-7P, [5-[5-[5-(4-Chlorophenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine 930122-98-8P, [5-[5-[5-(3-Methyl-4-trifluoromethylphenyl)-7-trifluoromethylpyrazolo[1,5-

a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine
930122-99-9, [5-[5-[5-(4-Chloro-3-methylphenyl)-7-trifluoromethylpyrazolo[1,5-a]pyrimidin-3-yl]-[1,2,4]oxadiazol-3-yl]pyrimidin-2-yl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazolopyrimidine derivs. and similar compds. as metabotropic glutamate receptor antagonists for treating CNS disorders)

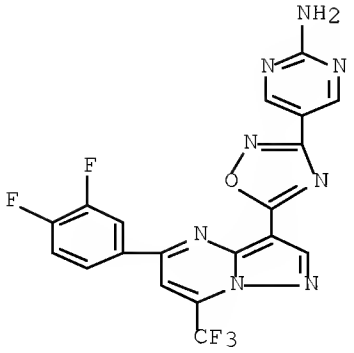
RN 930122-60-4 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[7-(trifluoromethyl)-5-[4-(trifluoromethyl)phenyl]pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



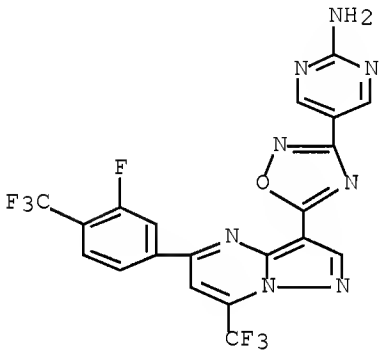
RN 930122-62-6 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[8-methyl-6-[4-(trifluoromethyl)phenyl]imidazo[1,2-a]pyridin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



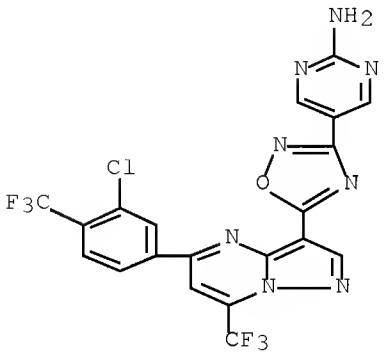
RN 930122-93-3 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-(3,4-difluorophenyl)-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



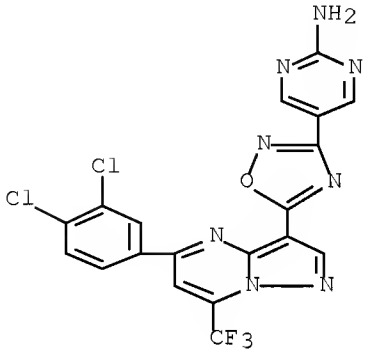
RN 930122-94-4 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-[3-fluoro-4-(trifluoromethyl)phenyl]-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



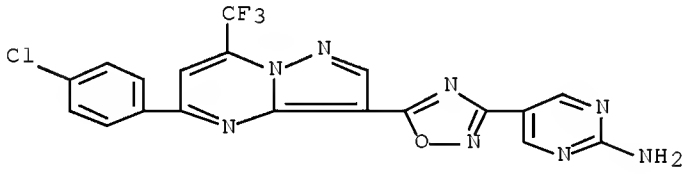
RN 930122-95-5 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-[3-chloro-4-(trifluoromethyl)phenyl]-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



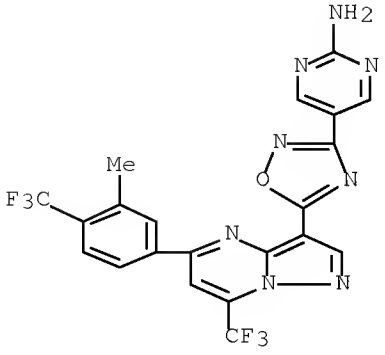
RN 930122-96-6 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-(3,4-dichlorophenyl)-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



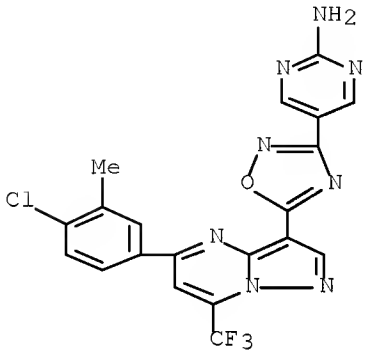
RN 930122-97-7 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-(4-chlorophenyl)-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



RN 930122-98-8 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-[3-methyl-4-(trifluoromethyl)phenyl]-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



RN 930122-99-9 HCAPLUS
CN 2-Pyrimidinamine, 5-[5-[5-(4-chloro-3-methylphenyl)-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



L25 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:151077 HCAPLUS Full-text
DOCUMENT NUMBER: 146:229322
TITLE: Tetrahydronaphthyridine derivatives as cholesteryl ester transferase protein inhibitors and a process for preparing them
INVENTOR(S): Kubota, Hitoshi; Nakamura, Yoshinori; Higashijima, Takanori; Yamamoto, Yasuo; Oka, Kozo; Igarashi, Shigeki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 131pp., Cont.-in-part of Appl. No. PCT/JP05/006895.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070032485	A1	20070208	US 2006-527556	20060927 <--
WO 2005095395	A2	20051013	WO 2005-JP6895	20050401 <--
WO 2005095395	A3	20060601		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

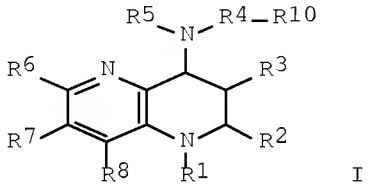
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-109551 A 20040402 <--
WO 2005-JP6895 A2 20050401 <--
US 2005-720447P P 20050927 <--

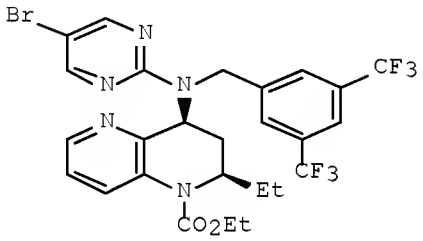
OTHER SOURCE(S): MARPAT 146:229322

ED Entered STN: 09 Feb 2007

GI



I



II

AB A compound of the formula I or a pharmaceutically acceptable salt thereof, has an inhibitory activity against cholesteryl ester transfer protein (CETP). Compds. of formula I wherein R1 is H, (un)substituted alkoxycarbonyl, (un)substituted alkyl, (un)substituted alkanoyl, etc; R2 and R3 are independently H and (un)substituted alkyl; R4 is (un)substituted alkylene; R5 is (un)substituted heterocyclic group; R6, R7, and R8 are independently H, (un)substituted alkyl, (un)substituted alkoxy, halo, NO2, CN; R10 is (un)substituted aromatic ring; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared via a multistep procedure (detailed procedures given). All the invention compds. were evaluated for their CETP inhibitory activity. Some of the tested compds. showed good inhibitory activity.

IT 866535-45-7P

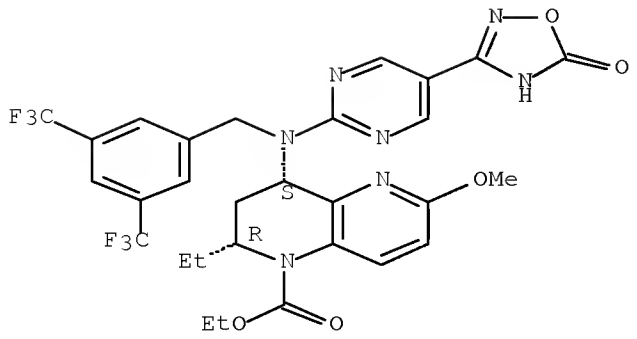
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tetrahydronaphthyridine derivs. as cholesteryl ester transferase protein inhibitors)

RN 866535-45-7 HCAPLUS

CN 1,5-Naphthyridine-1(2H)-carboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-methoxy-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



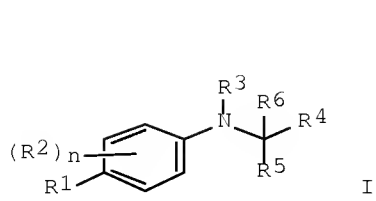
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1312622 HCAPLUS Full-text
DOCUMENT NUMBER: 146:62449
TITLE: Nonsteroidal tertiary arylamines as modulators of androgen, glucocorticoid, mineralocorticoid, and progesterone receptors and their preparation and use for treatment of diseases
INVENTOR(S): Turnbull, Philip Stewart; Cadilla, Rodolfo; Larkin, Andrew Lamont; Stewart, Eugene Lee; Stetson, Katherine
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 191pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

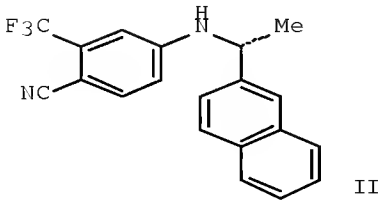
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006133216	A2	20061214	WO 2006-US21966	20060606 <--
WO 2006133216	A3	20070426		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1888512	A2	20080220	EP 2006-772327	20060606 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				

PRIORITY APPLN. INFO.: US 2005-687895P P 20050606 <--
WO 2006-US21966 W 20060606 <--

OTHER SOURCE(S): MARPAT 146:62449
ED Entered STN: 15 Dec 2006
GI



I



II

AB This invention relates to non-steroidal compds. of formula I that are modulators of androgen, glucocorticoid, mineralocorticoid, and progesterone receptors, and also to the methods for the making and use of such compds. Compds. of formula I wherein R1 is CN, NO2 and halo; n is 0, 1, and 2; each R3 is independently CN, NO2, halo, (halo)alkyl, alkenyl, alkynyl, OH, (halo)alkoxy, and aryl; R3 is (Rx)aR7; Rx is (un)substituted C1-4 alkylene; a is 0 and 1; R7 is H, (halo)alkyl, cycloalkyl, alkenyl, alkynyl, CN; R4 and R5 are independently H, (halo)alkyl, and cycloalkyl; R6 is (un)substituted aryl and (un)substituted heterocyclyl; and their pharmaceutically acceptable salts, and solvates thereof are claimed. Example compound II was prepared by substitution of 4-fluoro-2-trifluoromethylbenzonitrile with (R)-(+)-1-(2-naphthyl)ethylamine; the resulting 4-[[(1R)-1-(2-naphthyl)ethyl]amino]-2-trifluoromethylbenzonitrile underwent N-alkylation with cyclopropanemethyl bromide to give compound II. All the invention compds. were evaluated for their androgen, glucocorticoid, mineralocorticoid, and progesterone receptor modulatory activity. From the assay, it was determined that some of the compds. exhibited pIC50 values of ≥ 5.0.

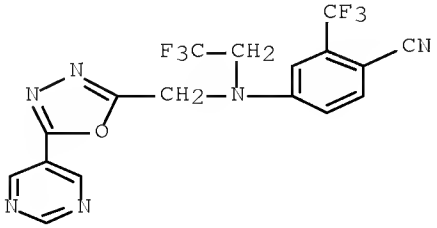
IT 916810-22-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of nonsteroidal tertiary arylamines as modulators of androgen, glucocorticoid, mineralocorticoid and progesterone receptors useful in therapy)

RN 916810-22-5 HCAPLUS

CN Benzonitrile, 4-[[[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]methyl](2,2,2-trifluoroethyl)amino]-2-(trifluoromethyl)- (CA INDEX NAME)



L25 ANSWER 16 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

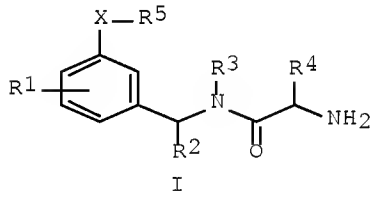
ACCESSION NUMBER: 2006:635022 HCAPLUS Full-text

DOCUMENT NUMBER: 145:103950

TITLE: Preparation of amino acid derivatives as inhibitors of protein arginine methyl transferases
INVENTOR(S): Purandare, Ashok Vinayak; Chen, Zhong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069155	A2	20060629	WO 2005-US46362	20051221 <--
WO 2006069155	A3	20061123		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070060589	A1	20070315	US 2005-312812	20051220 <--
PRIORITY APPLN. INFO.:			US 2004-637893P P	20041221 <--

OTHER SOURCE(S): MARPAT 145:103950
ED Entered STN: 30 Jun 2006
GI



I

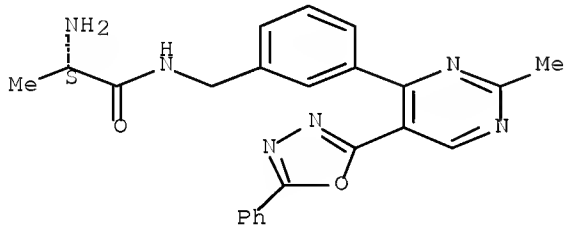
AB The invention relates to compds. I [X is Ph or 5-membered heteroaryl; R1 is H, halogen, CN, alkyl or substituted alkyl, alkoxy, alkylthio, or alkylsulfonyl; R2 is H or alkyl; R3 is H, Me, or Et; R4 is H, Me, Et, iso-Pr, CH2Ph, OH, or OPh; or R3 and R4 may form a 5- or 6-membered heterocycle; R5 is -W-(CH2)0-3-O0-1-R6, where W is CONH, 1,3,4-oxadiazole-2,5-diyl, etc and R6 is (un)substituted cycloalkyl, heterocyclyl, or aryl] or a stereoisomer, tautomer, or pharmaceutically-acceptable salt and their use in the treatment of hyperproliferative, inflammatory, infectious, and immunoregulatory disorders and diseases. Thus, I [R1-R3 = H, R4 = Me, R5-X = 5-(benzylcarbamoyl)-3-(trifluoromethyl)-1-pyrazolyl] was prepared from 1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxylic acid by

hydrogenation over Pd/C, followed by amidation reactions with Boc-Ala-OSu and benzylamine. The product was assayed for inhibition of tumor cell proliferation using the 3H thymidine incorporation protocol (IC50 < 10 μM).

IT 895524-25-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as inhibitors of protein arginine Me transferases)

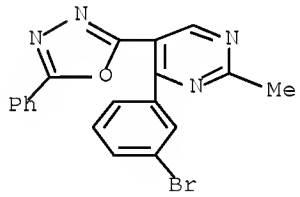
RN 895524-25-1 HCAPLUS
CN Propanamide, 2-amino-N-[[3-[2-methyl-5-(5-phenyl-1,3,4-oxadiazol-2-yl)-4-pyrimidinyl]phenyl]methyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

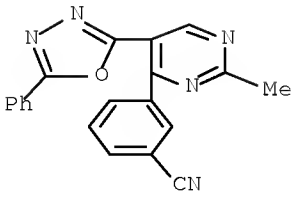


IT 895524-58-0P 895524-59-1P 895524-60-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as inhibitors of protein arginine Me transferases)

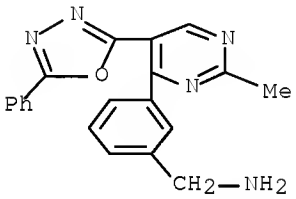
RN 895524-58-0 HCAPLUS
CN Pyrimidine, 4-(3-bromophenyl)-2-methyl-5-(5-phenyl-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)



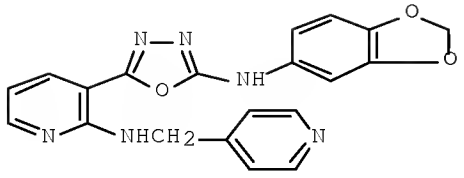
RN 895524-59-1 HCAPLUS
CN Benzonitrile, 3-[2-methyl-5-(5-phenyl-1,3,4-oxadiazol-2-yl)-4-pyrimidinyl]- (CA INDEX NAME)



RN 895524-60-4 HCAPLUS
CN Benzenemethanamine, 3-[2-methyl-5-(5-phenyl-1,3,4-oxadiazol-2-yl)-4-pyrimidinyl]- (CA INDEX NAME)



L25 ANSWER 17 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:87891 HCAPLUS Full-text
DOCUMENT NUMBER: 144:331362
TITLE: Oxadiazole derivatives as a novel class of antimitotic agents: Synthesis, inhibition of tubulin polymerization, and activity in tumor cell lines
AUTHOR(S): Ouyang, Xiaohu; Piatnitski, Evgueni L.; Pattaropong, Vatee; Chen, Xiaoling; He, Hai-Ying; Kiselyov, Alexander S.; Velankar, Avdhoot; Kawakami, Joel; Labelle, Marc; Smith, Leon; Lohman, Julia; Lee, Sui Ping; Malikzay, Asra; Fleming, James; Gerlak, Jason; Wang, Ying; Rosler, Robin L.; Zhou, Kai; Mitelman, Stan; Camara, Margarita; Surguladze, David; Doody, Jacqueline F.; Tuma, M. Carolina
CORPORATE SOURCE: Department of Chemistry, ImClone Systems Incorporated, New York, NY, 10014, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1191-1196
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:331362
ED Entered STN: 31 Jan 2006
GI



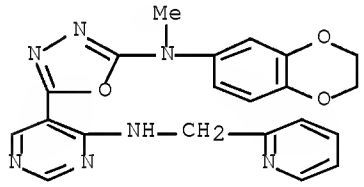
I

AB Oxadiazole derivs. were synthesized and evaluated for their ability to inhibit tubulin polymerization and to cause mitotic arrest in tumor cells. The most potent compds. inhibited tubulin polymerization at ≤ 1 μM. Lead analogs caused mitotic arrest of A431 human epidermoid cells and cells derived from multi-drug resistant tumors (I, EC50 = 7.8 nM). Competition for the colchicine binding site and pharmacokinetic properties of selected potent compds. are also reported, along with structure-activity relationships for this novel series of antimitotic agents.

IT 880494-09-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, inhibition of tubulin polymerization, and activity in tumor cell
lines of oxadiazole derivs. as antimitotic agents)

RN 880494-09-7 HCAPLUS

CN 4-Pyrimidinamine, 5-[5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylamino]-1,3,4-oxadiazol-2-yl]-N-(2-pyridinylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 18 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1106861 HCAPLUS Full-text

DOCUMENT NUMBER: 143:386934

TITLE: Preparation of 1,2,3,4-tetrahydroquinolin-4-amines as cholesteryl ester transfer protein inhibitors

INVENTOR(S): Kubota, Hitoshi; Sugahara, Masakatsu; Furukawa, Mariko; Takano, Mayumi; Motomura, Daisuke

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 314 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005095409	A2	20051013	WO 2005-JP6894	20050401 <--
WO 2005095409	A3	20060209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2005228290	B2	20080717		
CA 2560402	A1	20051013	CA 2005-2560402	20050401 <--
EP 1730152	A2	20061213	EP 2005-728670	20050401 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1938314	A	20070328	CN 2005-80010398	20050401 <--
BR 2005009364	A	20070904	BR 2005-9364	20050401 <--
JP 2007530443	T	20071101	JP 2006-534487	20050401 <--
US 20070082896	A1	20070412	US 2006-527691	20060927 <--
IN 2006CN03616	A	20070615	IN 2006-CN3616	20060928 <--
KR 2006135856	A	20061229	KR 2006-720435	20060929 <--
MX 2006PA11415	A	20061220	MX 2006-PA11415	20061002 <--
NO 2006005011	A	20061229	NO 2006-5011	20061101 <--
PRIORITY APPLN. INFO.:			JP 2004-109550 A	20040402 <--
			WO 2005-JP6894 W	20050401 <--
			US 2005-720448P P	20050927 <--

OTHER SOURCE(S): CASREACT 143:386934; MARPAT 143:386934
ED Entered STN: 14 Oct 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are novel 1,2,3,4-tetrahydroquinolin-4-amines (shown as I; R1 is alkoxycarbonyl or the like, R2 is alkyl or the like; R3 is H or the like; R4 is alkylene or the like; R5 is (un)substituted heterocyclic group; R6, R7, R8 and R9 = H; alkyl, alkoxy, or the like; R10 is (un)substituted aromatic ring, or the like; e.g. (2R,4S)-4-[[3,5- bis(trifluoromethyl)benzyl][5-(morpholin-4-yl)pyrimidin-2-yl]amino]-2- ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester (shown as II)) or pharmaceutically acceptable salts thereof, which have an inhibitory activity against cholesteryl ester transfer protein (CETP; IC50 values tabulated for 7 examples of I). Methods of preparation are claimed and .apprx.300 example prepns. and/or characterization data are included. For example, II was prepared in 3 steps starting from (2R,4S)-4-Amino-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester and 5-bromo-2-chloropyrimidine and involving intermediates (2R,4S)-4-[(5-bromopyrimidin-2-yl)amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester and (2R,4S)-4-[[3,5-bis(trifluoromethyl)benzyl](5-bromopyrimidin-2-yl)amino]-2-ethyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid Et ester.

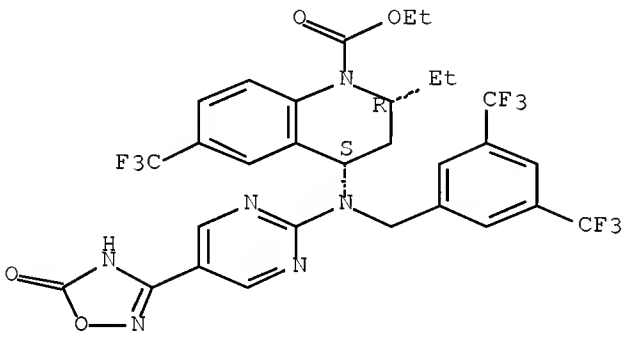
IT 866399-02-2P, (2R,4S)-4-[[3,5-Bis(trifluoromethyl)benzyl][5-(5-oxo-4,5-dihydro-[1,2,4]oxadiazol-3-yl)pyrimidin-2-yl]amino]-2-ethyl-6-

trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 1,2,3,4-tetrahydroquinolin-4-amines as cholesteryl ester transfer protein inhibitors)

RN 866399-02-2 HCAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-(trifluoromethyl)-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 19 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1103777 HCAPLUS Full-text
DOCUMENT NUMBER: 143:387010
TITLE: Preparation of 1,2,3,4-tetrahydro-1,5-naphthyridin-4-amines as cholesteryl ester transfer protein inhibitors
INVENTOR(S): Kubota, Hitoshi; Nakamura, Yoshinori; Higashijima, Takanori; Yamamoto, Yasuo; Oka, Kozo; Igarashi, Shigeki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005095395	A2	20051013	WO 2005-JP6895	20050401 <--
WO 2005095395	A3	20060601		

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

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            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
     AU 2005228291          A1     20051013    AU 2005-228291          20050401 <--
     AU 2005228291          B2     20080626
     CA 2560008             A1     20051013    CA 2005-2560008         20050401 <--
     EP 1732924             A2     20061220    EP 2005-728666          20050401 <--
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             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU
     CN 1938301             A      20070328    CN 2005-80010492        20050401 <--
     BR 2005009588          A      20070925    BR 2005-9588            20050401 <--
     JP 2007530444          T      20071101    JP 2006-534488          20050401 <--
     KR 2006132966          A      20061222    KR 2006-720091          20060928 <--
     IN 2006CN03641         A      20070615    IN 2006-CN3641          20060928 <--
     MX 2006PA11416         A      20061220    MX 2006-PA11416         20061002 <--
     NO 2006005012          A      20061228    NO 2006-5012            20061101 <--
PRIORITY APPLN. INFO.:                          JP 2004-109551      A   20040402 <--
                                                WO 2005-JP6895      W   20050401 <--

OTHER SOURCE(S):         MARPAT 143:387010
ED   Entered STN:  14 Oct 2005
GI
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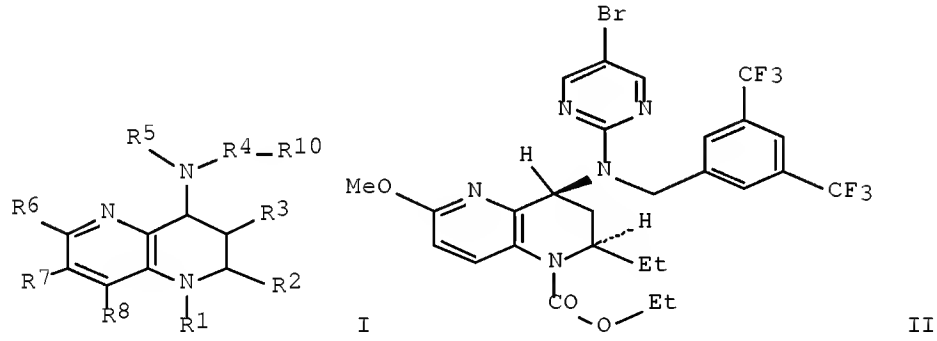


AB Disclosed are novel 1,2,3,4-tetrahydro-1,5-naphthyridin-4-amines (shown as I; R1 is alkoxycarbonyl or the like, R2 is alkyl or the like; R3 is H or the like; R4 is alkylene or the like; R5 is (un)substituted heterocyclic group; R6, R7, and R8 = H; alkyl, alkoxy, or the like; R10 is (un)substituted aromatic ring, or the like; e.g. (2R*,4S*)-4-[[3,5-bis(trifluoromethyl)benzyl](5-bromopyrimidin-2-yl)amino]- 2-ethyl-6-methoxy-1,2,3,4-tetrahydro-[1,5]naphthyridine-1-carboxylic acid Et ester (shown as II)) or pharmaceutically acceptable salts thereof, which have an inhibitory activity against cholesteryl ester transfer protein (CETP; IC50 values are tabulated for 7 examples of I). Methods of preparation are claimed and .apprx.400 example prepns. and/or characterization data are included. For example, II was prepared in 6 steps starting from 5-amino-2-methoxypyridine, benzotriazole and propionaldehyde and involving intermediates [1-(benzotriazol-1-yl)propyl](6-methoxypyridin-3-yl)amine, [(2R*,4S*)-2-ethyl-6-methoxy-1,2,3,4-tetrahydro-[1,5]naphthyridin-4- yl]carbamic acid benzyl ester, (2R*,4S*)-4-[(benzyloxycarbonyl)amino]-2- ethyl-6-methoxy-1,2,3,4-tetrahydro-[1,5]naphthyridine-1-carboxylic acid Et ester, (2R,4S*)-4-amino-2-ethyl-6-

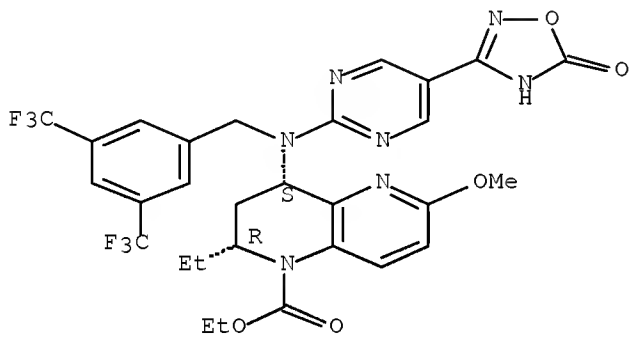
methoxy-1,2,3,4-tetrahydro- [1,5]naphthyridine-1-carboxylic acid Et ester and (2R*,4S*)-4-[(5-bromopyrimidin-2-yl)amino]-2-ethyl-6-methoxy-1,2,3,4-tetrahydro-[1,5]naphthyridine-1-carboxylic acid Et ester.

IT 866535-45-7P, (2R,4S)-4-[[3,5-Bis(trifluoromethyl)benzyl][5-(4,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)pyrimidin-2-yl]amino]-2-ethyl-6-methoxy-1,2,3,4-tetrahydro-[1,5]naphthyridine-1-carboxylic acid ethyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 1,2,3,4-tetrahydro-1,5-naphthyridin-4-amines
as cholesteryl ester transfer protein inhibitors)

RN 866535-45-7 HCAPLUS

CN 1,5-Naphthyridine-1(2H)-carboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl][5-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]amino]-2-ethyl-3,4-dihydro-6-methoxy-, ethyl ester, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 20 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1004720 HCAPLUS Full-text

DOCUMENT NUMBER: 143:306331

TITLE: Preparation of substituted pyrimidine derivatives as CCR4, TARC and MDC function-controlling agents

INVENTOR(S): Kawano, Noriyuki; Koganemaru, Yohei; Masuda, Naoyuki; Kaizawa, Hiroyuki; Hamaguchi, Wataru; Miyazaki, Takahiro

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085212	A1	20050915	WO 2005-JP3535	20050302 <--

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

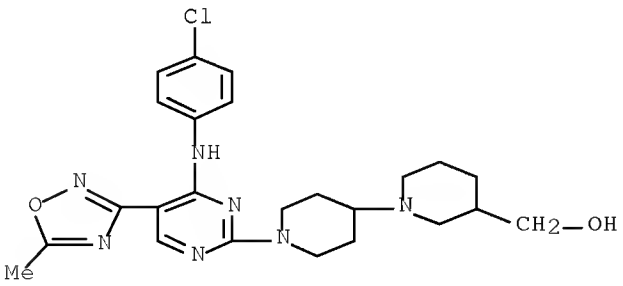
JP 2007217282 A 20070830 JP 2004-61123 20040304 <--
PRIORITY APPLN. INFO.: JP 2004-61123 A 20040304 <--
OTHER SOURCE(S): MARPAT 143:306331
ED Entered STN: 16 Sep 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. represented by the formula I [wherein ring A = (un)substituted aryl or cycloalkyl; ring B = (un)substituted (hetero)cyclyl; R1 = halo, alkoxy, (halo)alkyl or hydroxy; X = CR3 or N; R3 = H, alkyl or CN; L = bond, CO, alkyl, etc.; W = (un)substituted (hetero)cycloalkyl or (un)substituted amino; and pharmaceutically acceptable salts thereof] were prepared as CCR4 (chemokine receptor 4), TARC (Thymus and activation-regulated chemokine) and MDC (macrophage-derived chemokine) function-controlling agents. For example, reaction of 2-chloro-N-(4-chlorophenyl)-5-(4-chlorophenyl)pyrimidine-4-amine with 1,4'-bipiperidin-3-ylmethanol•2HClgave II·2HCl. In GTPγS binding assays, II·2HCl showed inhibition with an IC50 value of 82 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of inflammation, allergy, and autoimmune disease.

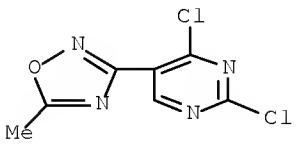
IT 864654-29-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrimidine derivs. as CCR4, TARC and MDC function-controlling agents)

RN 864654-29-5 HCAPLUS
CN [1,4'-Bipiperidine]-3-methanol, 1'-[4-[(4-chlorophenyl)amino]-5-(5-methyl-1,2,4-oxadiazol-3-yl)-2-pyrimidinyl]- (CA INDEX NAME)

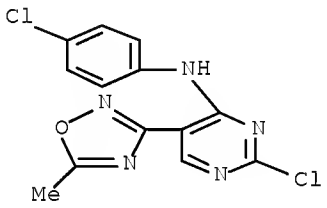


IT 864654-99-9P 864655-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyrimidine derivs. as CCR4, TARC and MDC function-controlling agents)

RN 864654-99-9 HCAPLUS
CN Pyrimidine, 2,4-dichloro-5-(5-methyl-1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



RN 864655-23-2 HCAPLUS
CN 4-Pyrimidinamine, 2-chloro-N-(4-chlorophenyl)-5-(5-methyl-1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 21 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:29315 HCAPLUS Full-text
DOCUMENT NUMBER: 142:114092
TITLE: Preparation of pyrimidines as modulators of voltage-gated ion channels
INVENTOR(S): Wilson, Dean Mitchell; Martinborough, Esther; Neubert, Timothy Donald; Termin, Andreas Peter; Gonzales, Jesus E., III; Zimmermann, Nicole
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003099	A2	20050113	WO 2004-US21440	20040702 <--
WO 2005003099	A3	20050512		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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    CN 1845918            A     20061011    CN 2004-80025027       20040702 <--
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PRIORITY APPLN. INFO.:                      US 2003-484362P     P  20030702 <--
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OTHER SOURCE(S):         MARPAT 142:114092
ED   Entered STN:  13 Jan 2005
GI
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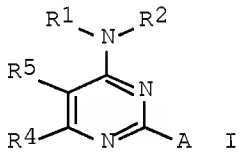

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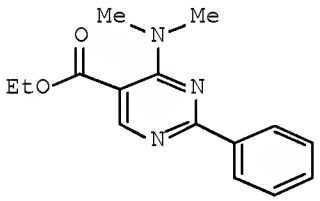


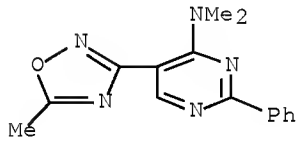
II

AB The title compds. [I; R5 = COR3, CO2R3, or R5'; R5' = CONH2 and derivs., SO2H and derivs., CON(CN)H and derivs., etc.; R1, R2 = independently H, (un)substituted aliphatic, 5-6-membered aryl ring containing 0-5 heteroatoms, or a 3-7-membered saturated or partially unsatd. ring containing 0-3 heteroatoms; or R1NR2 = (un)substituted 3-8-membered heterocyclyl or heteroaryl containing 1-3 heteroatoms; A = (un)substituted 5-6-membered aryl ring, or 8-10-membered bicyclic aryl ring containing 0-5 heteroatoms, or a 3-7-membered saturated or partially unsatd. ring containing 0-3 heteroatoms; R4 = Q-Rx; Q = a bond, alkylidene chain wherein up to two non-adjacent methylene units of Q are optionally replaced by CO, CO2, COCO, CONH and derivs., SO, SO2, O, S, NH and derivs., etc. with proviso; and their pharmaceutically acceptable salts], useful as inhibitors of voltage-gated sodium channels and calcium channels, were prepared Thus, Pd-cross coupling of 5-ethoxycarbonyl-2-chloro-4-(N,N-dimethylamino)pyrimidine (preparation given) with

phenylboronic acid gave II in 92% yield. Representative compds. I were found to possess desired N-type calcium channel modulation activity and selectivity (no specific data given). Also, representative compds. I were found to possess desired voltage gated sodium channel activity and selectivity (no specific data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.

IT 823795-63-7P 823795-72-8P 823795-75-1P
823796-03-8P 823796-06-1P 823796-11-8P
823796-15-2P 823796-21-0P 823796-49-2P
823796-51-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidines as modulators of voltage-gated ion channels)

RN 823795-63-7 HCAPLUS
CN 4-Pyrimidinamine, N,N-dimethyl-5-(5-methyl-1,2,4-oxadiazol-3-yl)-2-phenyl- (CA INDEX NAME)



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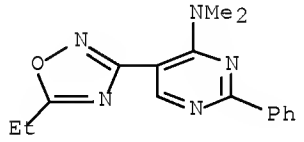
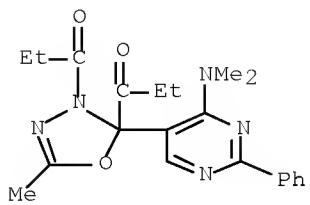


RN 823795-75-1 HCAPLUS
CN 1,3,4-Oxadiazole, 2-[4-(dimethylamino)-2-phenyl-5-pyrimidinyl]-2,3-dihydro-5-methyl-2,3-bis(1-oxopropyl)- (9CI) (CA INDEX NAME)



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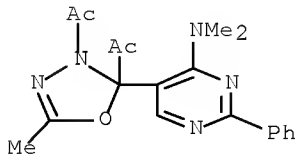
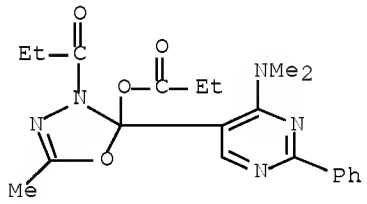


RN 823796-06-1 HCAPLUS
CN 1-Propanone, 1-[2-[4-(dimethylamino)-2-phenyl-5-pyrimidinyl]-5-methyl-2-(1-oxopropoxy)-1,3,4-oxadiazol-3(2H)-yl]- (CA INDEX NAME)



RN 823796-11-8 HCAPLUS
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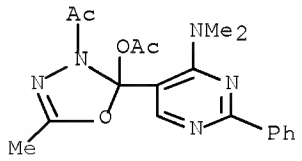

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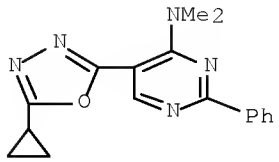

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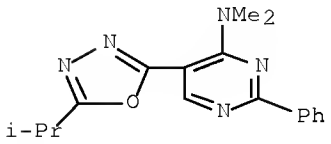

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CN 4-Pyrimidinamine, 5-(5-ethyl-1,3,4-oxadiazol-2-yl)-N,N-dimethyl-2-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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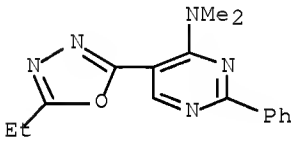
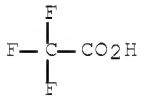
CRN 823796-48-1
CMF C16 H17 N5 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 823796-51-6 HCAPLUS
CN 4-Pyrimidinamine, N,N-dimethyl-5-(1,2,4-oxadiazol-3-yl)-2-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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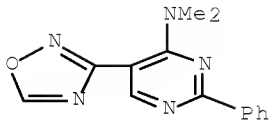

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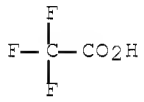

L25 ANSWER 22 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1033553 HCAPLUS Full-text
DOCUMENT NUMBER: 142:38256
TITLE: Preparation of 3-(2-amino-1-azacyclyl)-5-aryl-1,2,4-oxadiazoles as S1P receptor agonists
INVENTOR(S): Colandrea, Vincent J.; Doherty, George A.; Hale, Jeffrey J.; Lynch, Christopher; Mills, Sander G.; Neway, William Edward, III; Toth, Leslie
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103279	A2	20041202	WO 2004-US14837	20040512 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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OTHER SOURCE(S): MARPAT 142:38256
ED Entered STN: 02 Dec 2004
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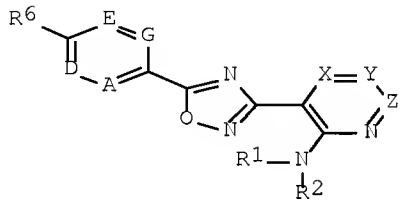


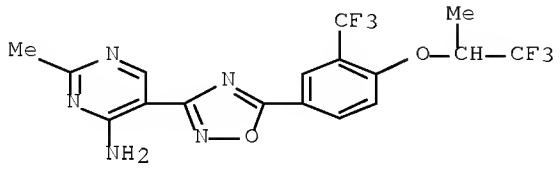
I

AB The present invention encompasses compds. of formula (I) [A = CR3 or N; D = CR4 or N; E = CR6 or N; G = CR7 or N, with the proviso that at least one of A, D, E and G is not N; X, Y, Z = N or CR8, with the proviso that at least one of X, Y and Z is not N; R1, R2 = H, C1-6 alkyl, optionally substituted with 1 to 3 halo groups; or NR1R2 together forms a 3- to 6-membered saturated monocyclic ring; R3, R4, R6, R7 = H, halo, cyano, C1-4 alkyl or C1-4 alkoxy, each optionally substituted with 1 to 3 halo groups; R5 = halo, each optionally substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-6 cycloalkyl, C1-6 alkoxy, C3-6 cycloalkoxy, C1-6 acyl, or aryl, heterocyclyl; or R4 and R5 may be joined together with the atoms to which they are attached to form a (un)substituted 5 or 6-membered monocyclic ring, optionally containing 1 to 3 heteroatoms selected from O, S and (un)substituted NH] as well as the pharmaceutically acceptable salts thereof. These compds. are useful for treating immune mediated diseases and conditions (imminoregulatory abnormality), such as autoimmune or chronic inflammatory disease, bone marrow, organ and tissue transplant rejection, graft-vs.-host disease, or respiratory disease or condition. They have utility as immunoregulatory agents as demonstrated by their activity as potent and selective agonists of the S1P1/Edg1 receptor over the S1PR3/Edg3 receptor with a selectivity for the S1P1/Edg1 receptor over the S1PR3/Edg3 receptor of more than 100 fold. They possessed an EC50 for binding to the S1P1/Edg1 receptor of less than 50 nM as evaluated by the [35S]GTPγS binding assay. Thus, 4-(2-methylpropyl)benzoic acid was treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF at room for 10 min and condensed with 2-chloro-N-hydroxynicotinamidine at 120° for 3 h to give 3-[2-(Chloro)pyridin-3-yl]-5-[4-(2-methylpropyl)phenyl]-1,2,4-oxadiazole (II). II was stirred with methylamine in DMF at 120° for 16 h to give 3-[2-(methylamino)pyridin-3-yl]-5-[4-(2-methylpropyl)phenyl]-1,2,4- oxadiazole.

IT 801302-87-4P 801302-97-6P 801303-00-4P
801303-01-5P 801303-02-6P 801303-04-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (aminoazacyclyl)aryloxadiazoles as S1P receptor agonists

for
treating immune mediated diseases and conditions)

RN 801302-87-4 HCAPLUS
CN 4-Pyrimidinamine, 2-methyl-5-[5-[3-(trifluoromethyl)-4-(2,2,2-trifluoro-1-methylethoxy)phenyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)



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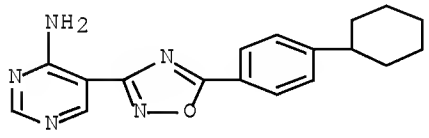

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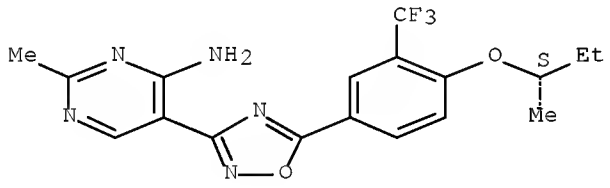
Absolute stereochemistry.



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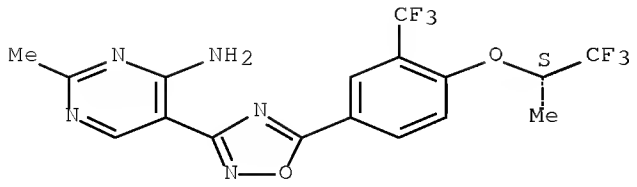
Absolute stereochemistry.



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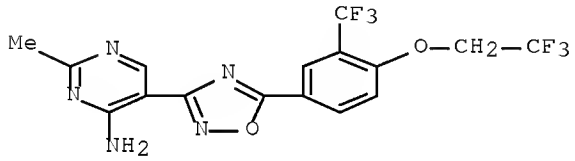

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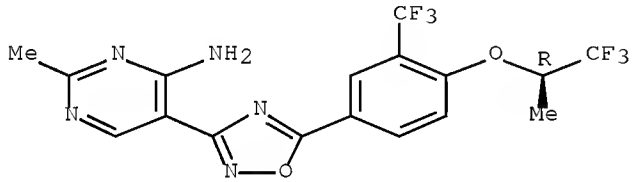
Absolute stereochemistry.



L25 ANSWER 23 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:292025 HCAPLUS Full-text
DOCUMENT NUMBER: 140:321389
TITLE: Preparation of novel 1,4-diazabicycloalkane derivatives as cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters
INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Jorgensen, Tino Dyhring; Ahring, Philip K.
PATENT ASSIGNEE(S): Neurosearch A/s, Den.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2004029053	A1	20040408	WO 2003-DK639		20030929	<--
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NZ 538512	A	20061222	NZ 2003-538512		20030929	<--
AT 353899	T	20070315	AT 2003-798094		20030929	<--
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HK 1081954	A1	20071221	HK 2006-102123		20060217	<--
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			DK 2002-1738	A	20021111	<--
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OTHER SOURCE(S): MARPAT 140:321389
ED Entered STN: 09 Apr 2004
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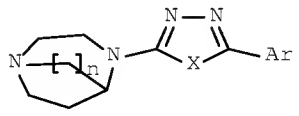


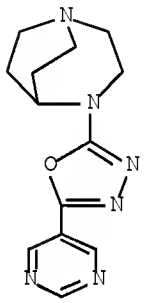
I

AB The title compds. [I; n = 1-3; X = O, S, Se; Ar = (un)substituted (hetero)aryl] and their pharmaceutically-acceptable addition salts, which were found to be cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters, were prepared Thus, reacting 1,4-diazabicyclo[3.2.2]nonane with 2-chloro-5-phenyl-1,3,4-thiadiazole (prepns. given) in the presence of Et3N in dioxane followed by

conversion into fumarate salt afforded 23% I.fumarate [n = 2; X = S; Ar = Ph] which showed IC50 of 0.0067 μM against 3H-α-bundarotoxine binding in rat brain. Due to their pharmacol. profile the compds. I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances. The pharmaceutical composition comprising the compound I is claimed.

IT 677724-61-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 1,4-diazabicycloalkane derivs. as cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters)

RN 677724-61-7 HCAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 24 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:182850 HCAPLUS Full-text
DOCUMENT NUMBER: 140:217659
TITLE: Preparation of 2-organothio-6-amino-4-pyrimidinols as chemokine receptor activity modulators
INVENTOR(S): Ebden, Mark Richard; Meghani, Premji; Cook, Antony Ronald; Steele, John; Cheema, Lal Lashkar Singh
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018435	A1	20040304	WO 2003-GB3632	20030820 <--

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003255819	A1	20040311	AU 2003-255819		20030820 <--
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EP 1539713	B1	20071219			
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JP 2006503906	T	20060202	JP 2005-501216		20030820 <--
AT 381546	T	20080115	AT 2003-792486		20030820 <--
ES 2295685	T3	20080416	ES 2003-792486		20030820 <--
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PRIORITY APPLN. INFO.:			GB 2002-19819	A	20020824 <--
			GB 2002-23287	A	20021008 <--
			WO 2003-GB3632	W	20030820 <--

OTHER SOURCE(S): MARPAT 140:217659
ED Entered STN: 05 Mar 2004
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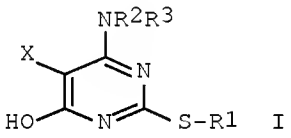

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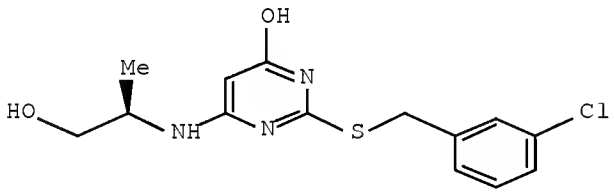


II

AB 2-Organothio-6-amino-4-pyrimidinols (shown as I; variables defined below; e.g. II), pharmaceutically acceptable salts, solvates and in vivo hydrolyzable esters thereof, have activity as pharmaceuticals, in particular as modulators of chemokine receptor (especially CXCR2) activity, and may be useful in the treatment (therapeutic or prophylactic) of conditions/diseases in human and nonhuman animals which are exacerbated or caused by excessive or unregulated production of chemokines. For I: R1 is a C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl and C2-6alkynyl; R2 is C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl or C2-6alkynyl; R3 is H or R2; R4 is H, C1-6alkyl or phenyl; X is H, halo, cyano, nitro, hydroxy, C1-6alkoxy, -NR5R6, -COOR7, -CONR5R6, - NR8COR9, thio, thiocyanato, thioC1-6alkyl, -SO2R10, -SO2NR5R6, -NR8SO2R10, C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl or C2-6alkynyl, Ph, heteroaryl, thiophenyl, thioheteroaryl, aminoheteroaryl, and thioC1-6-alkylheteroaryl; addnl. details are given in the claims. Methods of preparation are claimed and 34 example prepns. are included. For example, 1.7 g II was prepared by condensation of 3-chlorobenzyl bromide with 2.0 g 6-[((1R)-2-hydroxy-1-methylethyl)amino]- 2-mercapto-4-pyrimidinol, which was prepared (7.2 g) by condensation of 39 mL (R)-alaninol with 16.1 g 6-amino-2-mercapto-4-pyrimidinol. II was reacted

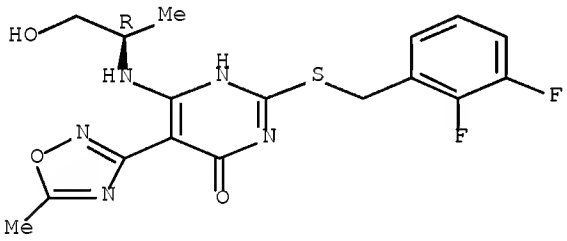
with N-chlorosuccinimide, KSCN/Br2, etc. to give 5-substituted derivs. In some other cases, 6-[((1R)-2-hydroxy-1-methylethyl)amino]-2- mercapto-4-pyrimidinol was condensed with 2,3-difluorobenzyl bromide to give 2-[[(2,3-difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1- methylethyl)amino]-4-pyrimidinol, which was reacted with 5-(4-pyridinyl)-1,3,4-oxadiazole-2-thiol, etc. to give 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]-4-pyrimidinol, etc. In another example, 2-[(2,3-difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5- (1,3-oxazol-5-yl)pyrimidin-4-ol was prepared by cyclization of 4-(allyloxy)-6-[[(1R)-2-[(tert-butyldimethylsilyl)oxy]-1-methylethyl]amino]-2-[(2,3-difluorobenzyl)thio]pyrimidine-5-carboxaldehyde with p-toluenesulfonylmethyl isocyanide. The 34 examples compds. have pIC50 >5.5 for binding to hrCXCR2, e.g. 6.10 for II. Compds. I according to the examples were tested and are antagonists of the CXCR2 receptor in human neutrophils (no data).

IT 666752-88-1P, 2-[(2,3-Difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-(5-methyl-1,2,4-oxadiazol-3-yl)pyrimidin-4-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-organothio-6-amino-4-pyrimidinols as chemokine receptor activity modulators)

RN 666752-88-1 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(5-methyl-1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 25 OF 39 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:971879 HCAPLUS Full-text

DOCUMENT NUMBER: 140:41828

TITLE: Preparation of N-hydroxy-N-(3-hydrazino-3-oxopropyl)formamide derivatives as peptide deformylase inhibitors with antibacterial activity

INVENTOR(S): Aubart, Kelly M.; Benowitz, Andrew B.; Christensen, Siegfried B., IV; Karpinski, Joseph M.; Lee, Jinhwa; Silva, Domingos J.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2003101442	A1	20031211	WO 2003-US17054		20030530	<--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
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US 20050222412	A1	20051006	US 2004-512926		20041029	<--
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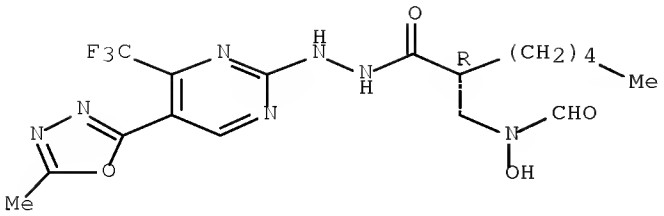
NO 2004005675	A	20041228	NO 2004-5675		20041228	<--
PRIORITY APPLN. INFO.:			US 2002-384457P	P	20020531	<--
			WO 2003-US17054	W	20030530	<--

OTHER SOURCE(S): MARPAT 140:41828
ED Entered STN: 14 Dec 2003
AB Title N-hydroxyformamide derivs. R1R2NNHCOCH(Y-R)CH2N(OH)CHO [R is (un)substituted alk(en)(yn)yl, carbocyclyl, carbocyclylmethyl, carbocyclylethyl, (hetero)aryl, or heterocyclyl ; Y is O, CH2 or a covalent bond; R1, R2 are H or groups given for R] or their salts were prepared as peptide deformylase (PDF) inhibitors. Thus, N-hydroxy-N-[(R)-2-[(2-pyridinylhydrazino)carbonyl]heptyl]formamide was prepared by reaction of (2R)-[(benzyloxyformylamino)methyl]heptanoic acid with 2-pyridinylhydrazine, followed by hydrogenation over Pd/C in MeOH.
IT 634611-08-8P 634611-09-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxy(hydrazinooxopropyl)formamide derivs. as peptide deformylase inhibitors with antibacterial activity)
RN 634611-08-8 HCAPLUS
CN Heptanoic acid, 2-[(formylhydroxyamino)methyl]-, 2-[5-(5-methyl-1,3,4-oxadiazol-2-yl)-4-(trifluoromethyl)-2-pyrimidinyl]hydrazide, (2R)- (CA INDEX NAME)

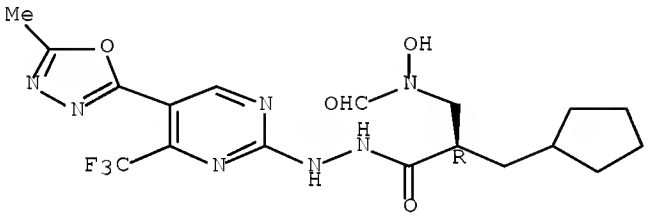
Absolute stereochemistry.



RN 634611-09-9 HCAPLUS

CN Cyclopentanepropanoic acid, α-[(formylhydroxyamino)methyl]-, 2-[5-(5-methyl-1,3,4-oxadiazol-2-yl)-4-(trifluoromethyl)-2-pyrimidinyl]hydrazide, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



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L5           50 SEA SSS SAM L4
L6         1036 SEA SPE=ON  ABB=ON  PLU=ON  L2
L7           36 SEA SPE=ON  ABB=ON  PLU=ON  L5 AND L6
L8         1278 SEA SSS FUL L4
L9          963 SEA SPE=ON  ABB=ON  PLU=ON  L8 AND L3
L10          73 SEA SPE=ON  ABB=ON  PLU=ON  L3 NOT L9

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